

EAST update 9/787, 426

L Number	Hits	Search Text	DB	Time stamp
1	2057	((514/269) or (514/275)).CCLS.	USPAT; US-PGPUB	2004/05/27 09:35
2	2129	((544/297) or (544/298) or (544/319) or (544/320)).CCLS.	USPAT; US-PGPUB	2004/05/27 09:37
3	3642	((514/269) or (514/275)).CCLS.) or ((544/297) or (544/298) or (544/319) or (544/320)).CCLS.)	USPAT; US-PGPUB	2004/05/27 09:37
4	1090	((514/269) or (514/275)).CCLS.) or ((544/297) or (544/298) or (544/319) or (544/320)).CCLS.) and (pyrimidin or pyrimidinone or pyrimidone)	USPAT; US-PGPUB	2004/05/27 09:38
5	685	((514/269) or (514/275)).CCLS.) or ((544/297) or (544/298) or (544/319) or (544/320)).CCLS.) and (pyrimidin or pyrimidinone or pyrimidone) and (pyridinyl or pyridyl)	USPAT; US-PGPUB	2004/05/27 09:39
6	672	((514/269) or (514/275)).CCLS.) or ((544/297) or (544/298) or (544/319) or (544/320)).CCLS.) and (pyrimidin or pyrimidinone or pyrimidone) and (pyridinyl or pyridyl) not '2-oxo'	USPAT; US-PGPUB	2004/05/27 09:39
7	619	((514/269) or (514/275)).CCLS.) or ((544/297) or (544/298) or (544/319) or (544/320)).CCLS.) and (pyrimidin or pyrimidinone or pyrimidone) and (pyridinyl or pyridyl) not '2-oxo' not uracil	USPAT; US-PGPUB	2004/05/27 09:39

09/ 787,426

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1202txn

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1	Web Page URLs for STN Seminar Schedule - N. America
NEWS	2	"Ask CAS" for self-help around the clock
NEWS	3	JAN 27 Source of Registration (SR) information in REGISTRY updated and searchable
NEWS	4	JAN 27 A new search aid, the Company Name Thesaurus, available in CA/CAPLUS
NEWS	5	FEB 05 German (DE) application and patent publication number format changes
NEWS	6	MAR 03 MEDLINE and LMEADLINE reloaded
NEWS	7	MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 03 FRANCEPAT now available on STN
NEWS	9	MAR 29 Pharmaceutical Substances (PS) now available on STN
NEWS	10	MAR 29 WPIFV now available on STN
NEWS	11	MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS	12	APR 26 PROMT: New display field available
NEWS	13	APR 26 IFIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS	14	APR 26 LITAlert now available on STN
NEWS	15	APR 27 NLDB: New search and display fields available
NEWS	16	May 10 PROUSDDR now available on STN
NEWS	17	May 19 PROUSDDR: One FREE connect hour, per account, in both May and June 2004
NEWS	18	May 12 EXTEND option available in structure searching
NEWS	19	May 12 Polymer links for the POLYLINK command completed in REGISTRY
NEWS	20	May 17 FRFULL now available on STN
NEWS	21	May 27 STN User Update to be held June 7 and June 8 at the SLA 2004 Conference
NEWS	22	May 27 New UPM (Update Code Maximum) field for more efficient patent SDIs in CAPLUS
NEWS	23	May 27 CAPLUS super roles and document types searchable in REGISTRY
NEWS	24	May 27 Explore APOLLIT with free connect time in June 2004
NEWS EXPRESS		MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS		STN Operating Hours Plus Help Desk Availability
NEWS INTER		General Internet Information
NEWS LOGIN		Welcome Banner and News Items
NEWS PHONE		Direct Dial and Telecommunication Network Access to STN
NEWS WWW		CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation

09/ 787,426

of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 14:14:26 ON 27 MAY 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 14:14:35 ON 27 MAY 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 MAY 2004 HIGHEST RN 686262-86-2

DICTIONARY FILE UPDATES: 26 MAY 2004 HIGHEST RN 686262-86-2

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

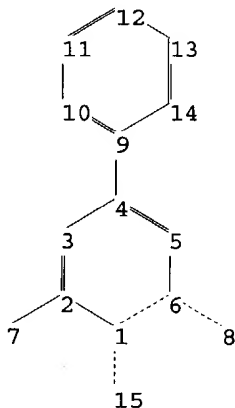
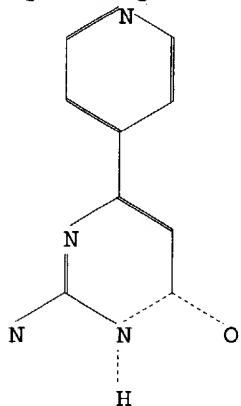
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\STNEXP4\QUERIES\09787426.str



chain nodes :

7 8 15

ring nodes :

1 2 3 4 5 6 9 10 11 12 13 14

chain bonds :

1-15 2-7 4-9 6-8

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14

exact/norm bonds :

1-2 1-6 1-15 2-3 2-7 3-4 4-5 5-6 6-8

09/ 787,426

exact bonds :

4-9

normalized bonds :

9-10 9-14 10-11 11-12 12-13 13-14

isolated ring systems :

containing 1 : 9 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom

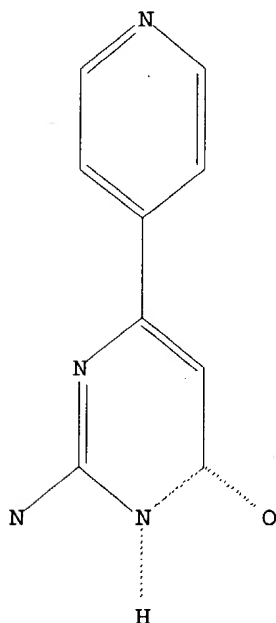
11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful

FULL SEARCH INITIATED 14:14:55 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1614 TO ITERATE

100.0% PROCESSED 1614 ITERATIONS

161 ANSWERS

SEARCH TIME: 00.00.01

L2 161 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

FILE 'CAPLUS' ENTERED AT 14:15:03 ON 27 MAY 2004

09/ 787,426

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 27 May 2004 VOL 140 ISS 22  
FILE LAST UPDATED: 26 May 2004 (20040526/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 20 L2

=> d l3 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 20 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:1006976 CAPLUS

DOCUMENT NUMBER: 140:59653

TITLE: Preparation of phenylaminopyrimidines as rho-kinase inhibitors

INVENTOR(S): Feurer, Achim; Bennabi, Samir; Heckroth, Heike; Ergueden, Jens; Schenke, Thomas; Bauser, Markus; Kast, Raimund; Stasch, Johannes-Peter; Stahl, Elke; Muentner, Klaus; Lang, Dieter; Ehmke, Heimo

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

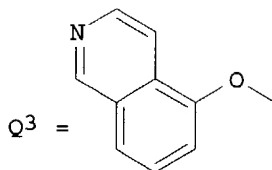
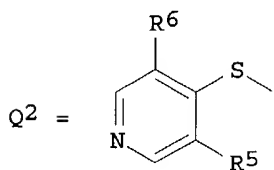
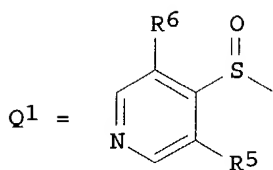
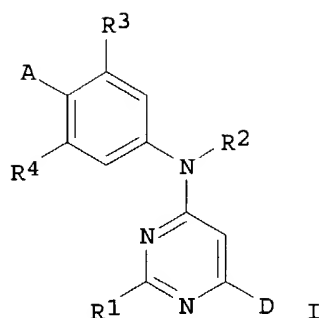
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106450	A1	20031224	WO 2003-EP5827	20030604
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

DE 10226943 A1 20040108 DE 2002-10226943 20020617

PRIORITY APPLN. INFO.: DE 2002-10226943 A 20020617

OTHER SOURCE(S): MARPAT 140:59653

GI



AB Title compds. [I; R1 = amino, OH; R2 = H, alkyl, cycloalkyl; R3, R4 = cyano, H, F, Cl; A = Q1-Q3; R5, R6 = H, F, Cl; D = (substituted) Ph, (iso)quinoline, indole, etc.], were prepared for treating cardiovascular diseases. Thus, 4-chloro-6-quinolin-6-yl-pyrimidin-2-amine (preparation given) and 3-fluoro-4-(4-pyridinylsulfanyl)aniline (preparation given) were treated with 37% HCl followed by stirring for over night at 100° to give 12% N-[2-amino-6-(6-quinolinyl)-4-pyrimidinyl]-N-[3-fluoro-4-(4-pyridinylsulfanyl)phenyl]amine. The latter inhibited Rho-kinase II (ROK $\alpha$ ) with IC<sub>50</sub> = 7 nM.

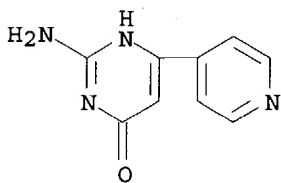
IT 54950-12-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phenylaminopyrimidines as rho-kinase inhibitors)

RN 54950-12-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:591171 CAPLUS

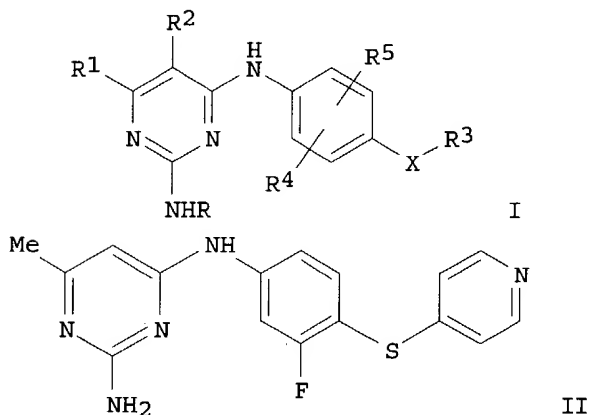
DOCUMENT NUMBER: 139:149645

TITLE: Preparation of pyrimidine derivatives for use in pharmaceutical compositions as Rho-kinase inhibitors

INVENTOR(S): Nagarathnam, Dhanapalan; Dumas, Jacques;

Hatoum-Mokdad, Holia; Boyer, Stephen; Pluempe, Hans  
 PATENT ASSIGNEE(S): Bayer Corporation, USA  
 SOURCE: PCT Int. Appl., 69 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

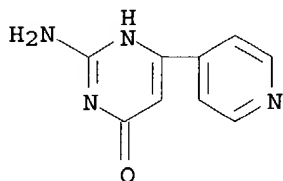
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062227	A1	20030731	WO 2003-US1840	20030123
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2004002507 A1 20040101 US 2003-349176 20030123 PRIORITY APPLN. INFO.: US 2002-349986P P 20020123 GI				



AB Pyrimidine derivs., such as I [R = H, Ph; R<sub>1</sub> = H, alkyl, aryl, heteroaryl, halogen; R<sub>2</sub> = H, alkyl, halogen; R<sub>1</sub>R<sub>2</sub> = (CH<sub>2</sub>)<sub>3-5</sub>; R<sub>3</sub> = heteroaryl, such as pyridinyl, quinolinyl or isoquinolinyl; X = O, S; R<sub>4</sub>, R<sub>5</sub> = H, Cl, F], were prepared for therapeutic use as Rho-kinase inhibitors. These pyrimidine derivs. are useful for inhibiting tumor growth in cancer of the breast, colon, prostate, ovaries, brain or lung, and for treatment of other disorders mediated by Rho-kinase, such as erectile dysfunction, coronary heart disease, hypertension, atherosclerosis, restenosis, cerebral ischemia, cerebral vasospasm, neuronal degeneration, spinal cord injury, asthma, glaucoma and osteoporosis. Thus, II was prepared in 18% yield by reacting 2-amino-4-chloro-6-methylpyrimidine with 3-fluoro-4-(4-pyridinylthio)aniline using K<sub>2</sub>CO<sub>3</sub> in o-xylene at 100° overnight. The prepared pyrimidine derivs. were assayed for inhibition of ROCK-I phosphorylation of myelin basic protein.

09/ 787,426

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of pyrimidine derivs. for use in pharmaceutical compns. as  
Rho-kinase inhibitors)  
RN 54950-12-8 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-amino-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:591169 CAPLUS

DOCUMENT NUMBER: 139:149643

TITLE: Preparation of pyrimidinamines as Rho-kinase  
inhibitors for inhibiting tumor growth, treating  
erectile dysfunction, and other therapeutic uses

INVENTOR(S): Nagarathnam, Dhanapalan; Dumas, Jacques;  
Hatoum-mokdad, Holia; Boyer, Stephen; Wang, Chunguang;  
Pluempe, Hans; Feurer, Achim; Bennabi, Samir

PATENT ASSIGNEE(S): Bayer Corporation, USA

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062225	A1	20030731	WO 2003-US1839	20030123

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,  
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,  
RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,  
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,  
NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,  
ML, MR, NE, SN, TD, TG

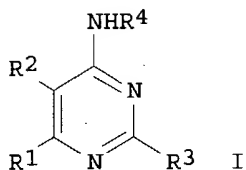
US 2004002508	A1	20040101	US 2003-349177	20030123
---------------	----	----------	----------------	----------

PRIORITY APPLN. INFO.: US 2002-349987P P 20020123

OTHER SOURCE(S): MARPAT 139:149643

GI



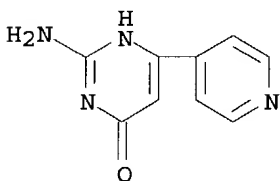


AB Disclosed are pyrimidinamines (shown as I; variables defined below; e.g. 4-[[4-[(2-amino-6-ethyl-4-pyrimidinyl)aminophenyl]sulfanyl]phenol]), their synthesis, and their use as Rho-kinase inhibitors (no data). These compds. are useful for inhibiting tumor growth, treating erectile dysfunction, and treating other indications mediated by Rho-kinase, e.g., coronary heart disease. For I: R1 and R2 = H, halo, alkyl (un)substituted by halo up to perhalo, cycloalkyl, alkenyl, alkynyl, NO<sub>2</sub>, NH<sub>2</sub>, NR<sub>6</sub>R<sub>7</sub>, or furyl, thienyl, pyridyl, trifluoromethyl or Ph each (un)substituted with NH<sub>2</sub>, NO<sub>2</sub> trifluoromethyl or alkoxy; or R1 and R2 may be taken together to form a ring of = 5-7 members optionally interrupted by N and (un)substituted on N by benzyl. R3 = NH<sub>2</sub> or -NH- Ph (un)substituted with halo, C1-C4 alkyl, trifluoromethyl, nitro or amino; R4 = X-A- and R5n-substituted Ph, R5n-substituted 6-X-Apyridin-3-yl or indol-5-yl (un)substituted on N with pyridyl; X is a linker substituted at the 3 or 4 position of the ring and is O, S, -S-CH<sub>2</sub>-, -(CH<sub>2</sub>)<sub>m</sub>-, or -C(O)-; A is Ph (un)substituted with alkylthio or OH, pyridyl, quinolyl or isoquinolyl. Each R5 independently is halo, alkyl (un)substituted by halo up to perhalo, cycloalkyl, alkoxy, alkenyl, alkynyl, NO<sub>2</sub>, NH<sub>2</sub>, or trifluoromethyl; n is 0-4; m is 1 or 2; and R6 and R7 are each independently H, alkyl, cycloalkyl, or Ph (un)substituted with halo, CF<sub>3</sub>, alkyl, nitro or amino; or R6 and R7 may form, together with the N atom to which they are attached, a heterocyclic ring (un)substituted with alkyl, optionally interrupted by O, or optionally fused to phenyl; addnl. details including provisos are given in the claims. More than 30 example preps. of I plus many preps. of intermediates are included. For example, 4-[[4-[(2-amino-6-ethyl-4-pyrimidinyl)aminophenyl]mercapto]phenol (0.11 mmol, 51% yield) was prepared from 2-amino-4-chloro-6-ethylpyrimidine (0.23 mmol) and 4-[(4-aminophenyl)sulfanyl]phenol (0.25 mmol) suspended in a mixture of 0.01M aqueous HCl (230  $\mu$ L) and 1-butanol (230  $\mu$ L); the mixture was refluxed overnight.

IT **54950-12-8P**, 2-Amino-4-hydroxy-6-(4-pyridyl)pyrimidine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of pyrimidinamines as Rho-kinase inhibitors for inhibiting tumor growth, treating erectile dysfunction, and other therapeutic uses)

RN 54950-12-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

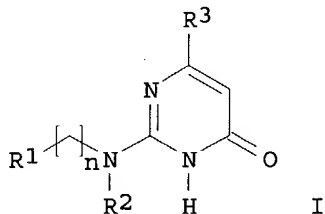


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/ 787,426

ACCESSION NUMBER: 2001:713340 CAPLUS  
DOCUMENT NUMBER: 135:272981  
TITLE: Preparation of 2-(arylalkylamino)pyrimidones and  
2-(heteroarylalkylamino)pyrimidones for preventive  
and/or therapeutic treatment of a neurodegenerative  
disease caused by abnormal activity of GSK3 $\beta$   
INVENTOR(S): Almario Garcia, Antonio; Ando, Ryoichi; Aritomo,  
Keiichi; Frost, Jonathan Reid; Li, Adrien Tak; Shoda,  
Aya; Uehara, Fumiaki; Watanabe, Kazutoshi  
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo  
Pharmaceuticals, Inc.  
SOURCE: PCT Int. Appl., 57 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 4  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070727	A1	20010927	WO 2001-EP3638	20010322
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1136484	A1	20010926	EP 2000-400804	20000323
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
EP 1136099	A1	20010926	EP 2000-400805	20000323
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
EP 1136491	A1	20010926	EP 2000-400806	20000323
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2001270884	A2	20011002	JP 2000-81938	20000323
PRIORITY APPLN. INFO.:			EP 2000-400804	A 20000323
			EP 2000-400805	A 20000323
			EP 2000-400806	A 20000323
			JP 2000-81938	A 20000323
OTHER SOURCE(S):	MARPAT 135:272981			
GI				



AB The title compds. [I; R2 = H, perhalogenated alkyl, (un)substituted alkyl; R3 = 2-, 3- or 4-pyridyl optionally substituted by alkyl, alkoxy or a halogen; and when n = 1-10, the R1 = unsubstituted naphth-1-yl, unsubstituted naphth-2-yl, aryl, etc.; when n = 4-10 then R1 can represent

in addition an unsubstituted Ph; and when n = 1-3 and R1 = unsubstituted Ph then R2 = perhalogenated alkyl or substituted alkyl] and their pharmaceutically acceptable salts which are used for preventive and/or therapeutic treatment of a neurodegenerative diseases caused by abnormal activity of GSK3 $\beta$ , were prepared and formulated. The compds. I were synthesized by reacting Et 3-(4-pyridyl)-3-oxopropionate (preparation given) with R1(CH2)nNR2C(:NH)NH2 or by reacting 2-(methylthio)-6-(pyridin-4-yl)pyrimidin-4(1H)-one (preparation given) with R1(CH2)nNHR2. The compds. I such as I [R1 = 3,4-(MeO)2C6H3; R2 = H; R3 = 4-pyridyl] showed IC50's of 0.01-10  $\mu$ M against GSK3 $\beta$ .

IT

361484-66-4P 361484-67-5P 361484-68-6P  
 361542-10-1P 361542-11-2P 361542-12-3P  
 361542-13-4P 361542-14-5P 361542-15-6P  
 361542-16-7P 361542-17-8P 361542-18-9P  
 361542-19-0P 361542-20-3P 361542-21-4P  
 361542-22-5P 361542-23-6P 361542-24-7P  
 361542-25-8P 361542-26-9P 361542-27-0P  
 361542-28-1P 361542-29-2P 361542-30-5P  
 361542-31-6P 361542-32-7P 361542-33-8P  
 361542-34-9P 361542-35-0P 361542-36-1P  
 361542-37-2P 361542-38-3P 361542-39-4P  
 361542-40-7P 361542-41-8P 361542-42-9P  
 361542-43-0P 361542-44-1P 361542-45-2P  
 361542-46-3P 361542-47-4P 361542-48-5P  
 361542-49-6P 361542-50-9P 361542-51-0P  
 361542-52-1P 361542-54-3P 361542-55-4P  
 361542-56-5P 361542-57-6P 361542-58-7P  
 361542-59-8P 361542-60-1P 361542-61-2P  
 361542-62-3P 361542-63-4P 361542-64-5P  
 361542-65-6P 361542-66-7P 361542-67-8P  
 361542-68-9P 361542-69-0P 361542-70-3P  
 361542-71-4P 361542-72-5P 361542-73-6P  
 361542-75-8P 361542-76-9P 361542-77-0P  
 361542-78-1P 361542-79-2P 361542-80-5P  
 361542-82-7P 361542-84-9P 361542-85-0P  
 361542-86-1P 361542-87-2P 361542-89-4P  
 362048-04-2P 362048-06-4P 362048-07-5P  
 362048-08-6P 362048-09-7P 362048-10-0P  
 362048-12-2P 362048-13-3P 362048-14-4P  
 362601-30-7P 362601-35-2P 362601-36-3P  
 362601-37-4P 362601-38-5P 362601-39-6P  
 362601-41-0P 362601-42-1P 362601-43-2P  
 362601-44-3P 362601-45-4P 362601-47-6P  
 362601-49-8P 362601-50-1P 362601-51-2P  
 362601-52-3P 362601-54-5P 362601-55-6P  
 362601-56-7P 362601-58-9P 362601-59-0P  
 362601-60-3P 362601-61-4P 362601-62-5P  
 362601-64-7P 362601-65-8P 362601-67-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 2-(aryalkylamino)pyrimidones and 2-(heteroarylalkylamino)pyrimidones for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3 $\beta$ )

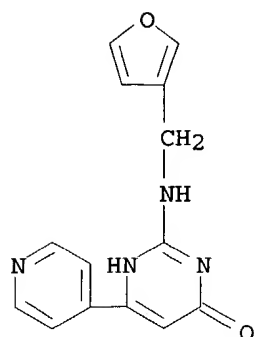
RN

361484-66-4 CAPLUS

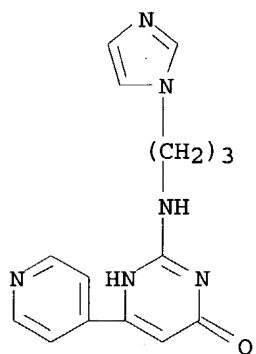
CN

4(1H)-Pyrimidinone, 2-[(3-furanylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

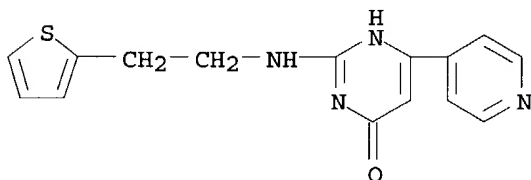
09/ 787,426



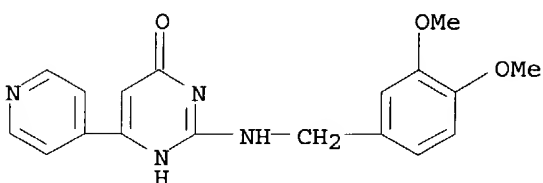
RN 361484-67-5 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[3-(1H-imidazol-1-yl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361484-68-6 CAPLUS  
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[2-(2-thienyl)ethyl]amino]- (9CI)  
(CA INDEX NAME)



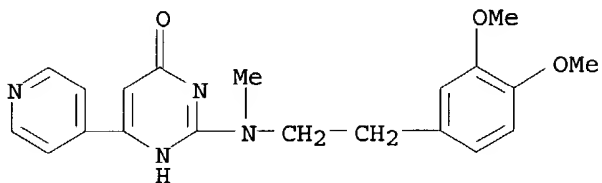
RN 361542-10-1 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[3-(3,4-dimethoxyphenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



09/ 787,426

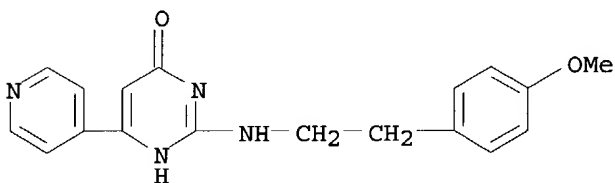
RN 361542-11-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



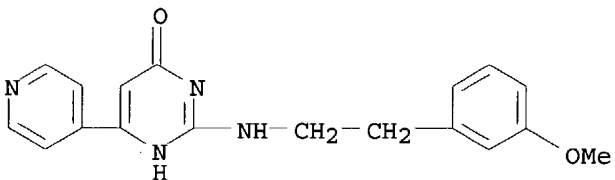
RN 361542-12-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-methoxyphenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



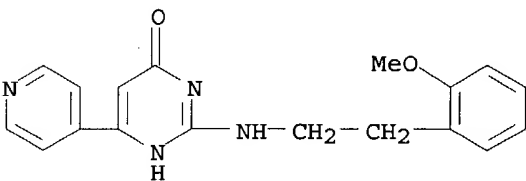
RN 361542-13-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(3-methoxyphenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



RN 361542-14-5 CAPLUS

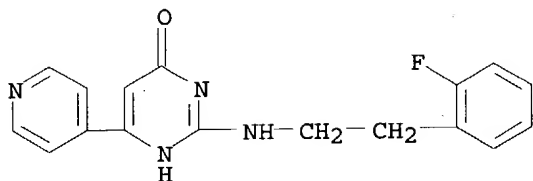
CN 4(1H)-Pyrimidinone, 2-[[2-(2-methoxyphenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



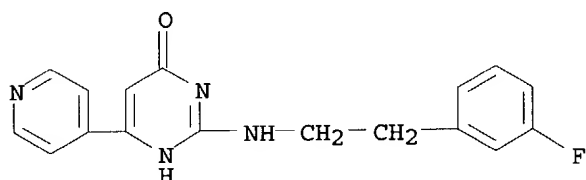
RN 361542-15-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-fluorophenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

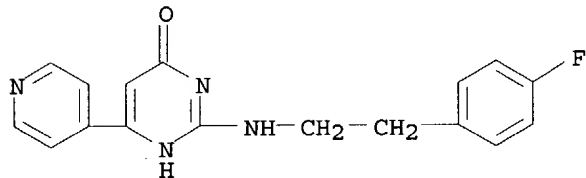
09/ 787,426



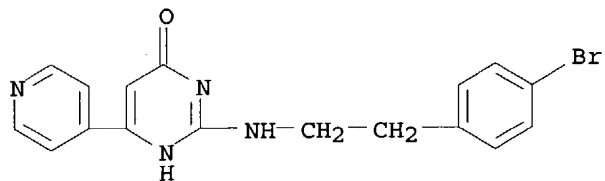
RN 361542-16-7 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[2-(3-fluorophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-17-8 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[2-(4-fluorophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

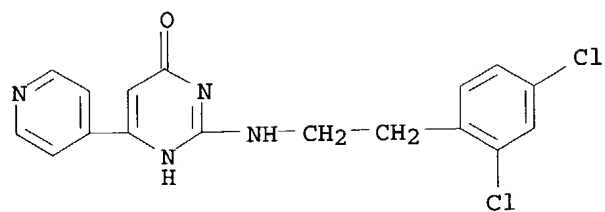


RN 361542-18-9 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[2-(4-bromophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

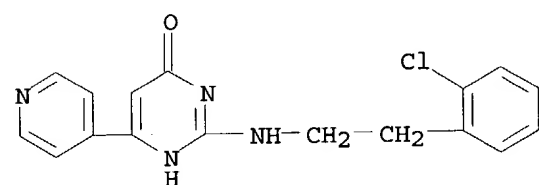


RN 361542-19-0 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[2-(2,4-dichlorophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

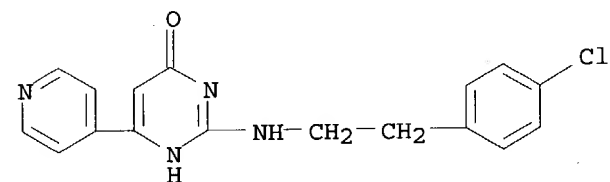
09/ 787,426



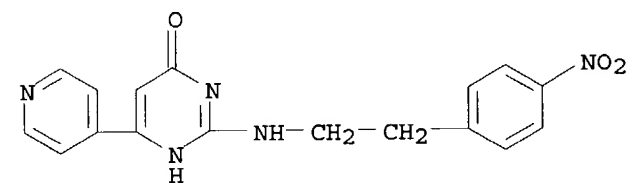
RN 361542-20-3 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[2-(2-chlorophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-21-4 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[2-(4-chlorophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

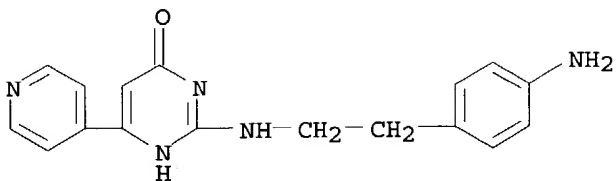


RN 361542-22-5 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[2-(4-nitrophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



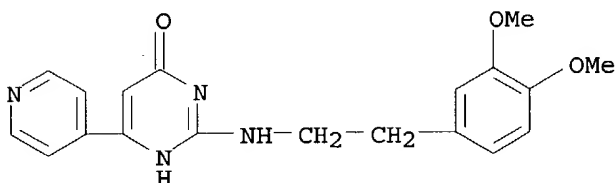
RN 361542-23-6 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[2-(4-aminophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

09/ 787,426



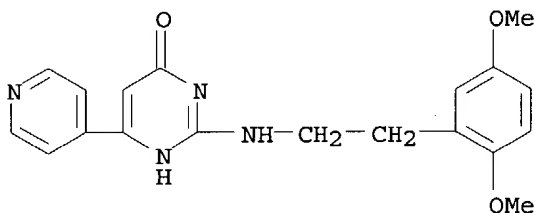
RN 361542-24-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-6-(4-pyridinyl) - (9CI) (CA INDEX NAME)



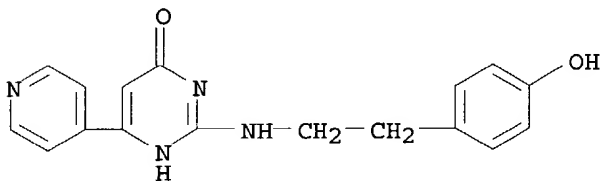
RN 361542-25-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2,5-dimethoxyphenyl)ethyl]amino]-6-(4-pyridinyl) - (9CI) (CA INDEX NAME)



RN 361542-26-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-hydroxyphenyl)ethyl]amino]-6-(4-pyridinyl) - (9CI) (CA INDEX NAME)

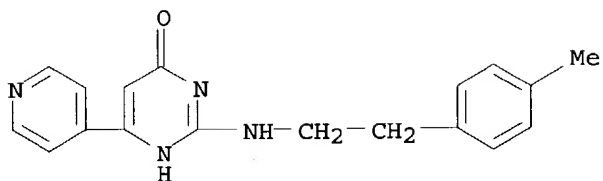


RN 361542-27-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-methylphenyl)ethyl]amino]-6-(4-pyridinyl) - (9CI) (CA INDEX NAME)

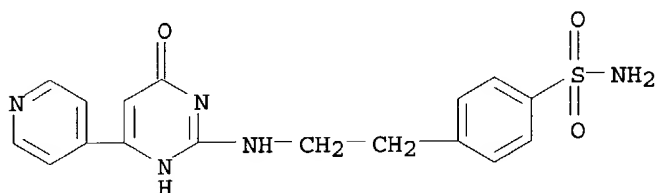


09/ 787,426



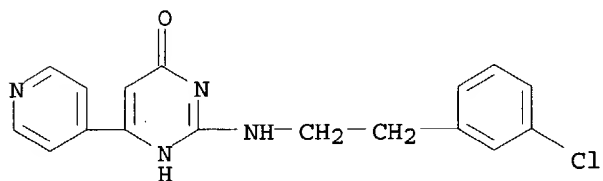
RN 361542-28-1 CAPLUS

CN Benzenesulfonamide, 4-[2-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]ethyl]-3-methylphenyl]- (9CI) (CA INDEX NAME)



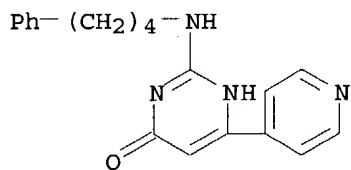
RN 361542-29-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(3-chlorophenyl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 361542-30-5 CAPLUS

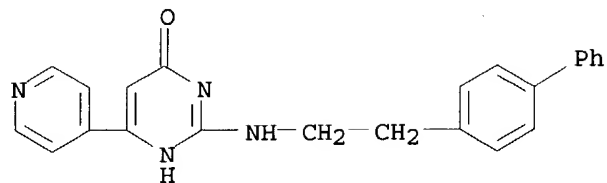
CN 4(1H)-Pyrimidinone, 2-[[4-phenylbutyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 361542-31-6 CAPLUS

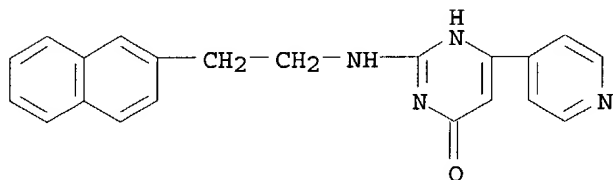
CN 4(1H)-Pyrimidinone, 2-[[2-[[1,1'-biphenyl]-4-ylethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

09/ 787,426



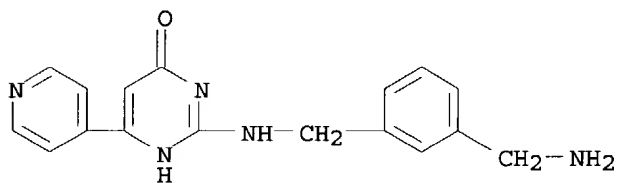
RN 361542-32-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-naphthalenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-33-8 CAPLUS

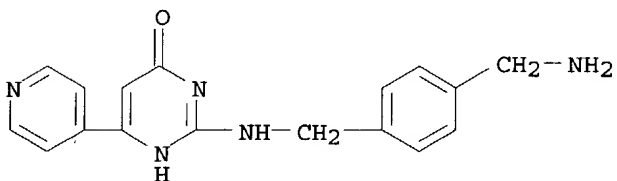
CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 361542-34-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

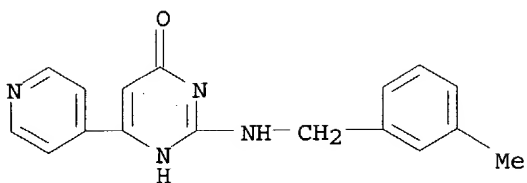


● 2 HCl

RN 361542-35-0 CAPLUS

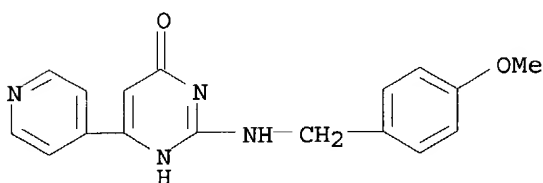
CN 4(1H)-Pyrimidinone, 2-[[[3-methylphenyl]methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

09/ 787,426



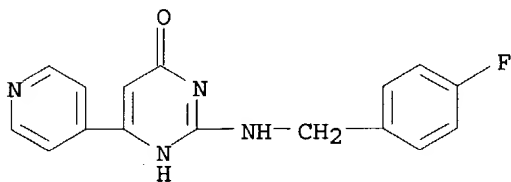
RN 361542-36-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[4-(4-methoxyphenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



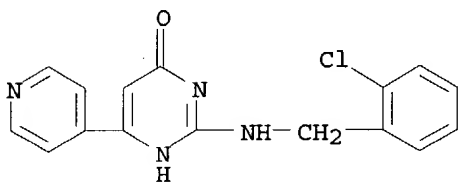
RN 361542-37-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[4-(4-fluorophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-38-3 CAPLUS

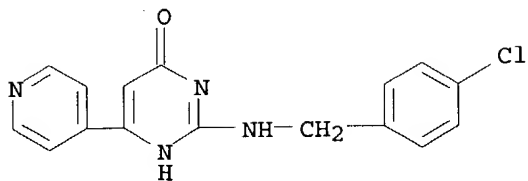
CN 4(1H)-Pyrimidinone, 2-[[4-(2-chlorophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-39-4 CAPLUS

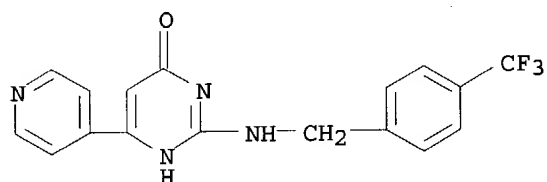
CN 4(1H)-Pyrimidinone, 2-[[4-(4-chlorophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

09/ 787,426



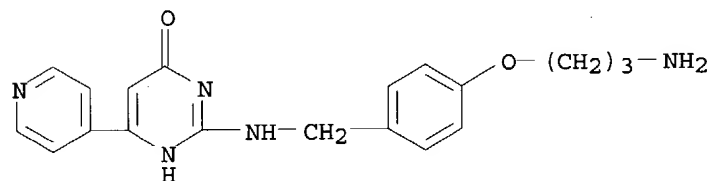
RN 361542-40-7 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[4-(trifluoromethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 361542-41-8 CAPLUS

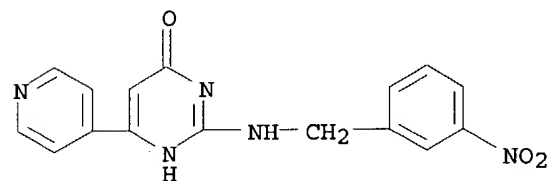
CN 4(1H)-Pyrimidinone, 2-[[[4-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 361542-42-9 CAPLUS

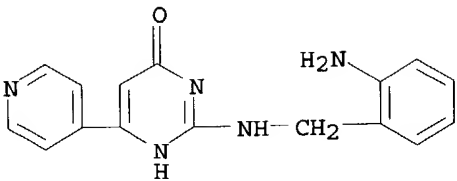
CN 4(1H)-Pyrimidinone, 2-[[[(3-nitrophenyl)methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



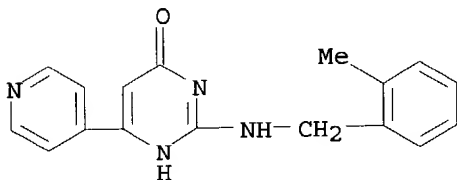
RN 361542-43-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[(2-aminophenyl)methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

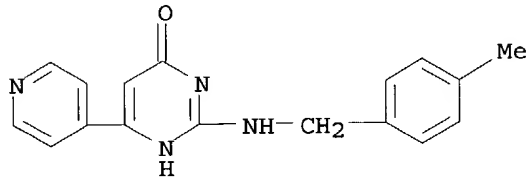
09/ 787,426



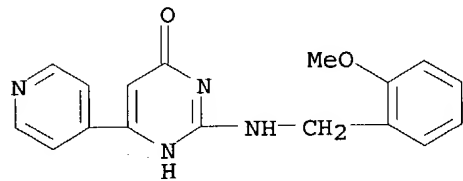
RN 361542-44-1 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[(2-methylphenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-45-2 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[(4-methylphenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

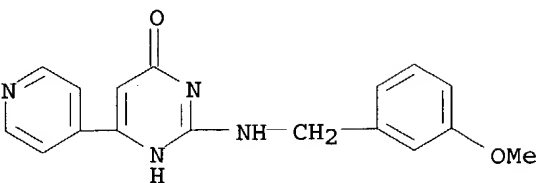


RN 361542-46-3 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[(2-methoxyphenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

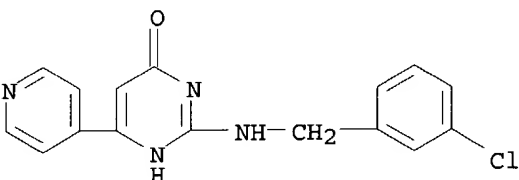


RN 361542-47-4 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[(3-methoxyphenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

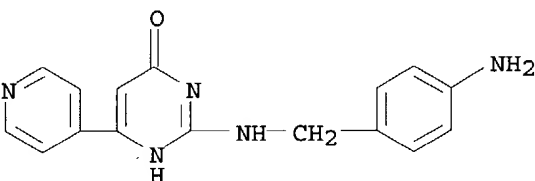
09/ 787,426



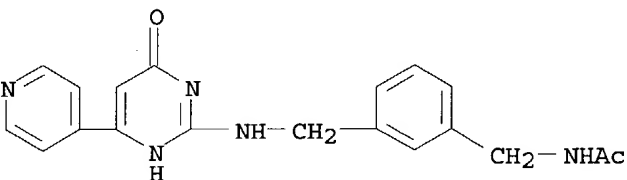
RN 361542-48-5 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[(3-chlorophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-49-6 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[(4-aminophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

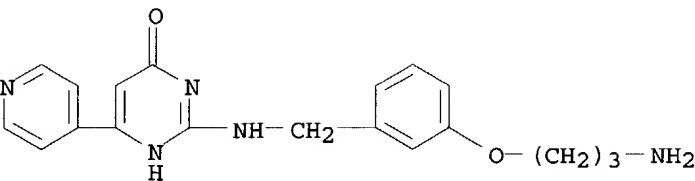


RN 361542-50-9 CAPLUS  
CN Acetamide, N-[[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



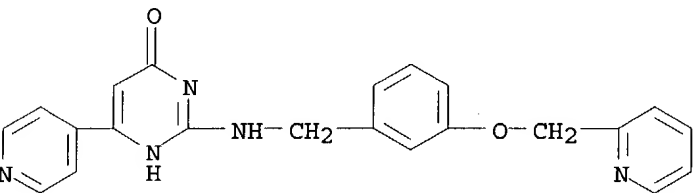
RN 361542-51-0 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[3-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

09/ 787,426

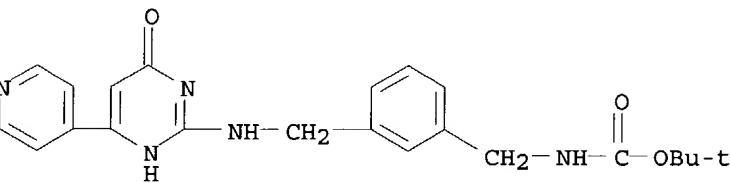


● 2. HCl

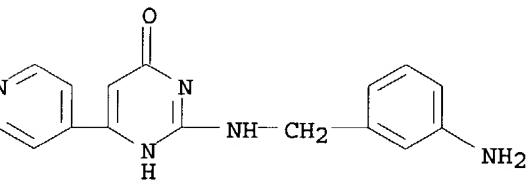
RN 361542-52-1 CAPLUS  
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[3-(2-pyridinylmethoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 361542-54-3 CAPLUS  
CN Carbamic acid, [[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

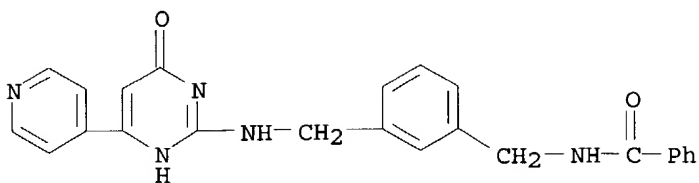


RN 361542-55-4 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[3-aminophenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



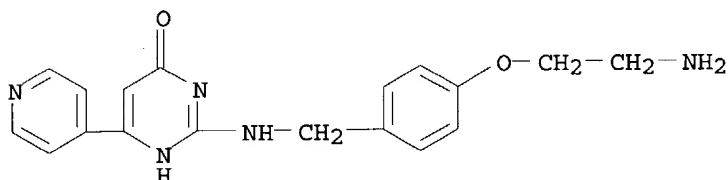
RN 361542-56-5 CAPLUS  
CN Benzamide, N-[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

09/ 787,426



RN 361542-57-6 CAPLUS

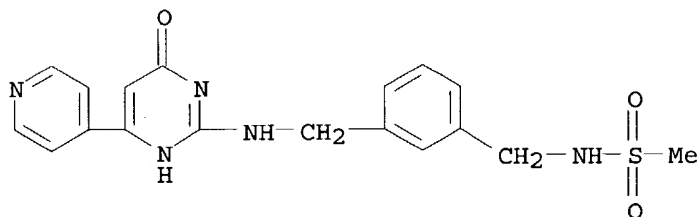
CN 4(1H)-Pyrimidinone, 2-[[[4-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

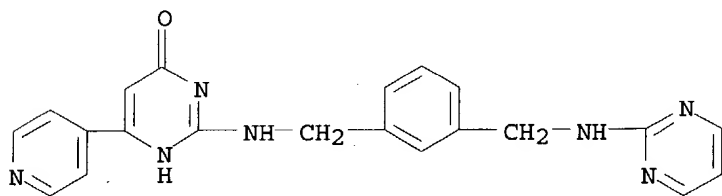
RN 361542-58-7 CAPLUS

CN Methanesulfonamide, N-[[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 361542-59-8 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[(2-pyrimidinylamino)methyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

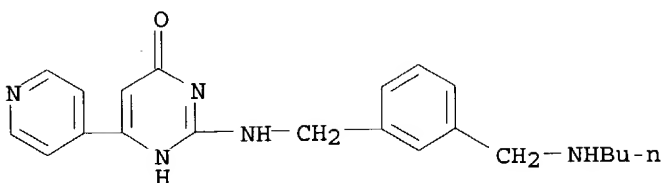


RN 361542-60-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-[(butylamino)methyl]phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

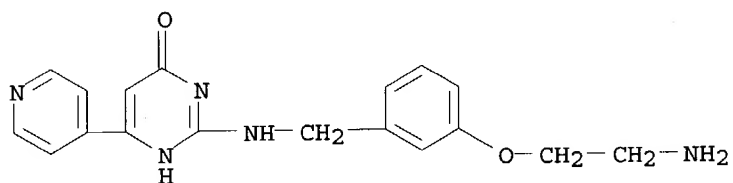


09/ 787,426



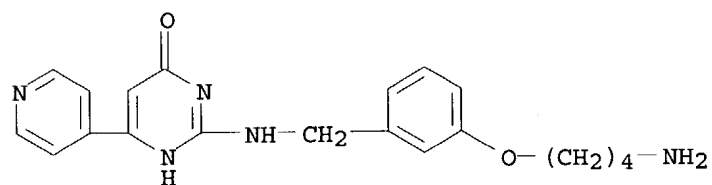
● 2 HCl

RN 361542-61-2 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[3-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



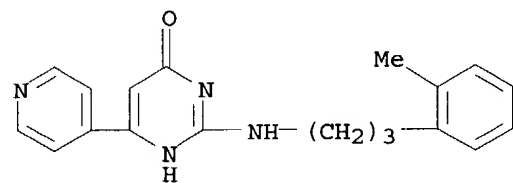
● 2 HCl

RN 361542-62-3 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[3-(4-aminobutoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



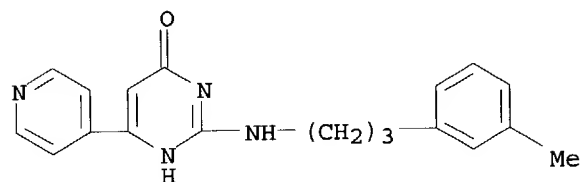
● 2 HCl

RN 361542-63-4 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[3-(2-methylphenyl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

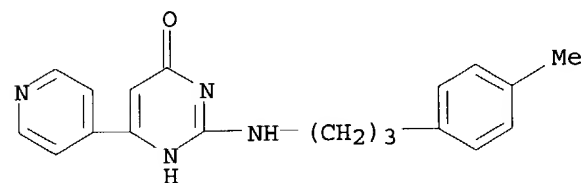


09/ 787,426

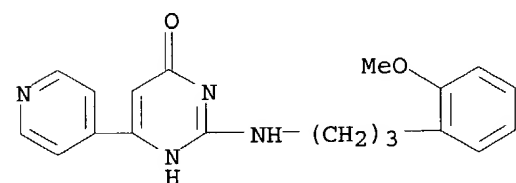
RN 361542-64-5 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[3-(3-methylphenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



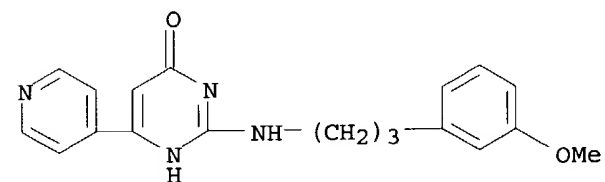
RN 361542-65-6 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[3-(4-methylphenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-66-7 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[3-(2-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

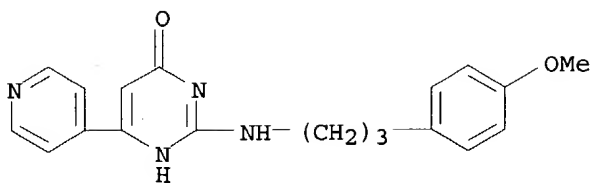


RN 361542-67-8 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[3-(3-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



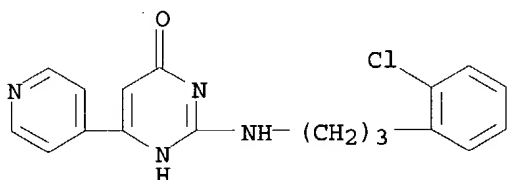
RN 361542-68-9 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[3-(4-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

09/ 787,426



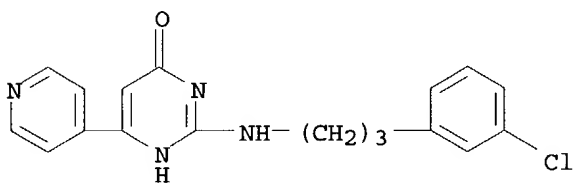
RN 361542-69-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(2-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



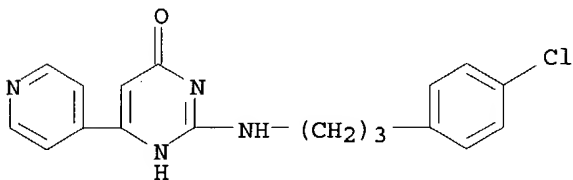
RN 361542-70-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(3-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-71-4 CAPLUS

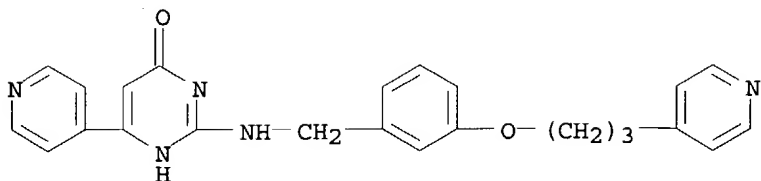
CN 4(1H)-Pyrimidinone, 2-[[3-(4-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-72-5 CAPLUS

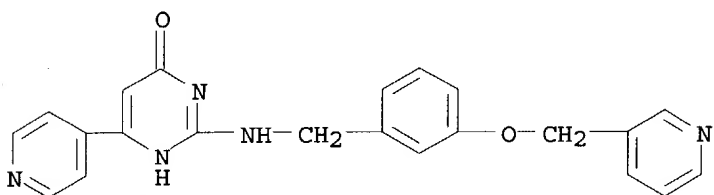
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[3-(4-pyridinyl)propoxy]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

09/ 787,426



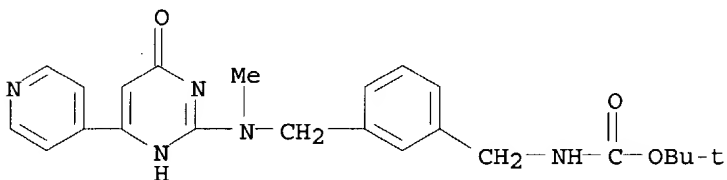
RN 361542-73-6 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(3-pyridinylmethoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



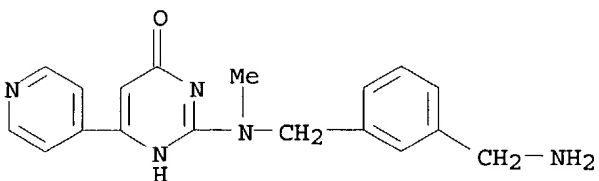
RN 361542-75-8 CAPLUS

CN Carbamic acid, [[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]methylamino]methyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 361542-76-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]methylamino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

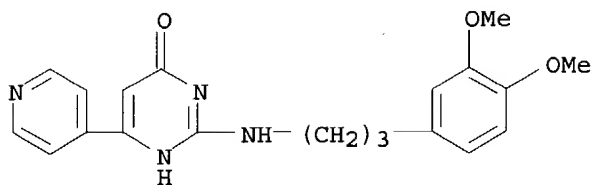


● 2 HCl

RN 361542-77-0 CAPLUS

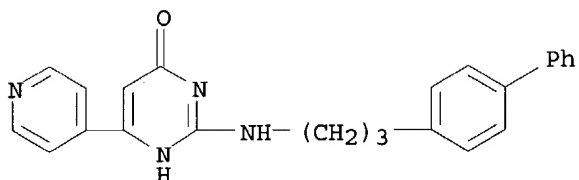
CN 4(1H)-Pyrimidinone, 2-[[[3-(3,4-dimethoxyphenyl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

09/ 787,426



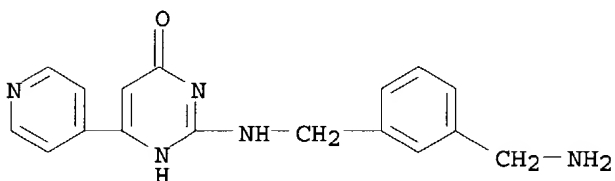
RN 361542-78-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-[1,1'-biphenyl]-4-ylpropyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



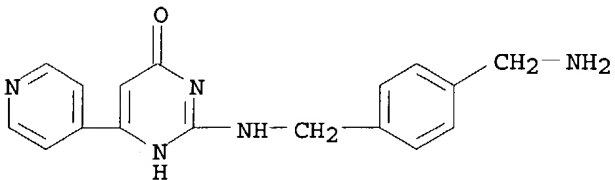
RN 361542-79-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 361542-80-5 CAPLUS

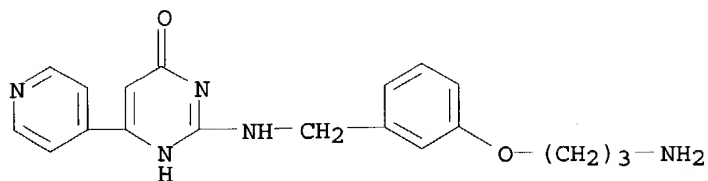
CN 4(1H)-Pyrimidinone, 2-[[[4-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 361542-82-7 CAPLUS

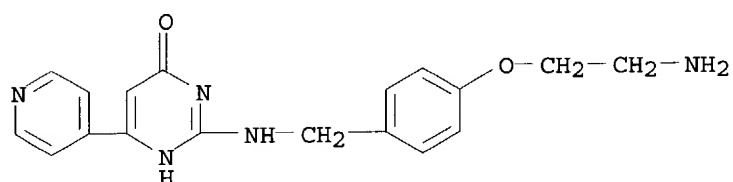
CN 4(1H)-Pyrimidinone, 2-[[[3-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

09/ 787,426



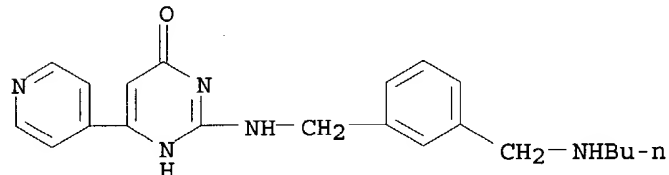
RN 361542-84-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



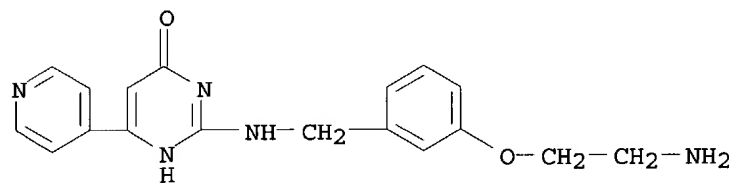
RN 361542-85-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-[(butylamino)methyl]phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 361542-86-1 CAPLUS

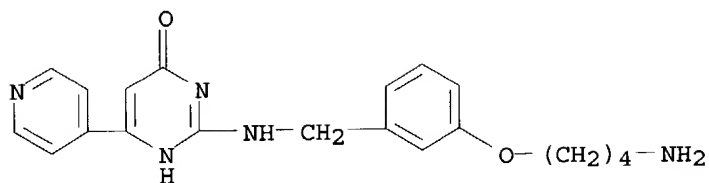
CN 4(1H)-Pyrimidinone, 2-[[[3-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 361542-87-2 CAPLUS

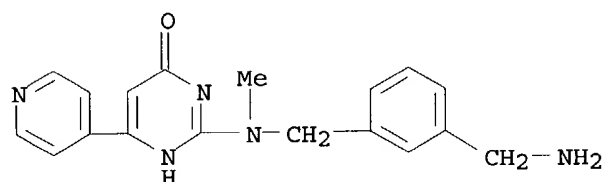
CN 4(1H)-Pyrimidinone, 2-[[[3-(4-aminobutoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

09/ 787,426



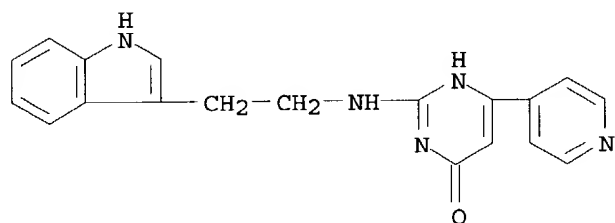
RN 361542-89-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]methylamino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



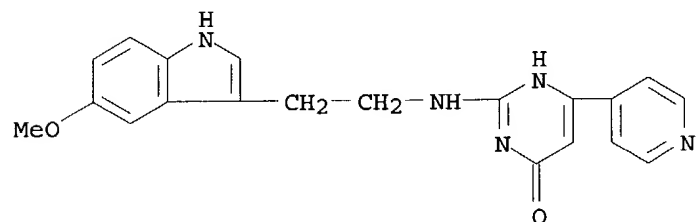
RN 362048-04-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 362048-06-4 CAPLUS

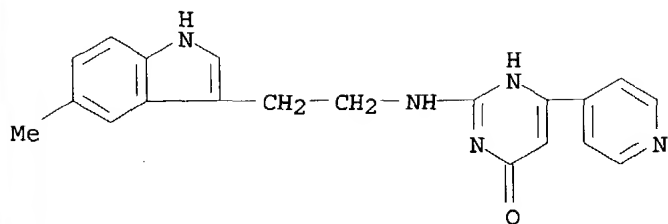
CN 4(1H)-Pyrimidinone, 2-[[2-(5-methoxy-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 362048-07-5 CAPLUS

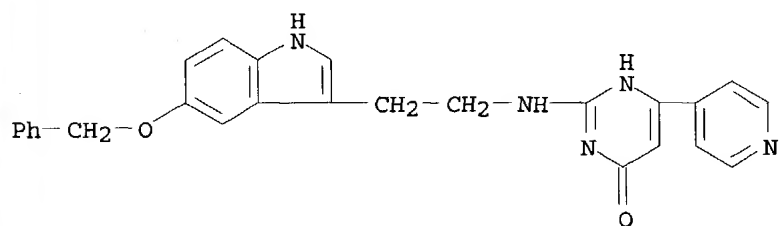
CN 4(1H)-Pyrimidinone, 2-[[2-(5-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

09/ 787,426



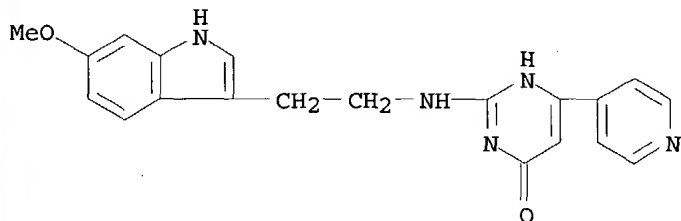
RN 362048-08-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-[[5-(phenylmethoxy)-1H-indol-3-yl]ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



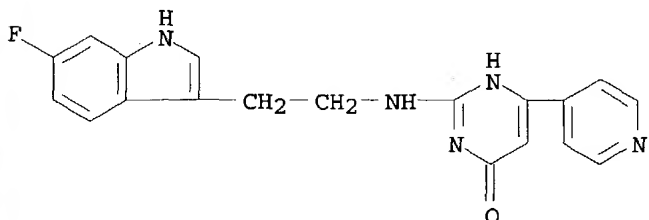
RN 362048-09-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-[[6-methoxy-1H-indol-3-yl]ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 362048-10-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-[[6-fluoro-1H-indol-3-yl]ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

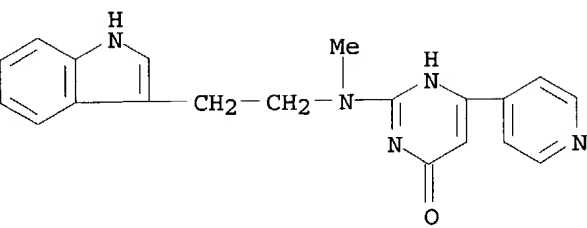


RN 362048-12-2 CAPLUS

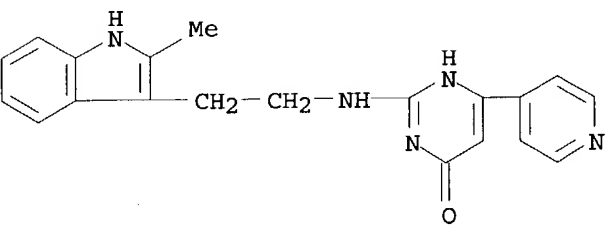
CN 4(1H)-Pyrimidinone, 2-[[2-[[1H-indol-3-yl]ethyl]methylamino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



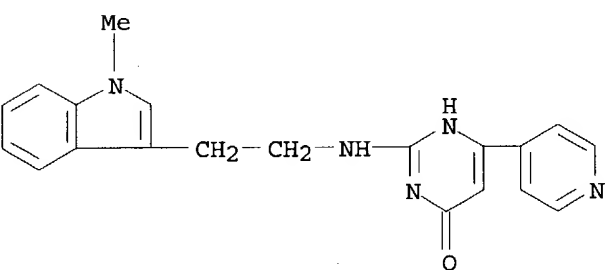
09/ 787,426



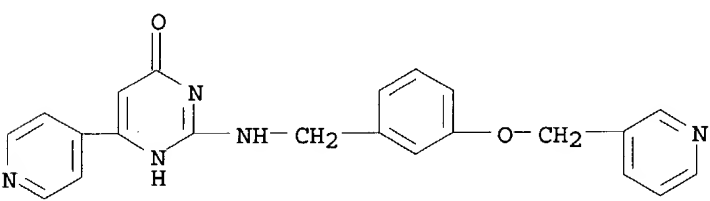
RN 362048-13-3 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[2-(2-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 362048-14-4 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[2-(1-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



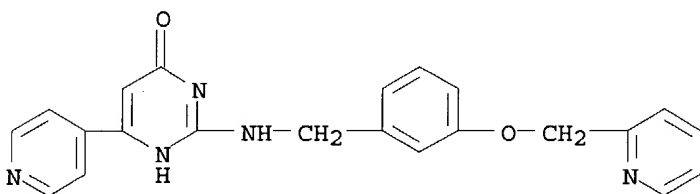
RN 362601-30-7 CAPLUS  
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(3-pyridinylmethoxy)phenyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



09/ 787,426

RN 362601-35-2 CAPLUS

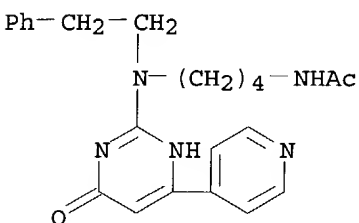
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(2-pyridinylmethoxy)phenyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

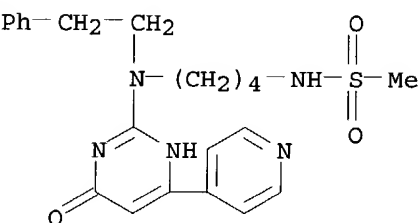
RN 362601-36-3 CAPLUS

CN Acetamide, N-[4-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl](2-phenylethyl)amino]butyl]- (9CI) (CA INDEX NAME)



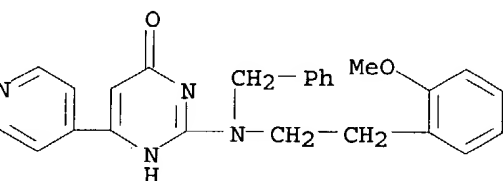
RN 362601-37-4 CAPLUS

CN Methanesulfonamide, N-[4-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl](2-phenylethyl)amino]butyl]- (9CI) (CA INDEX NAME)



RN 362601-38-5 CAPLUS

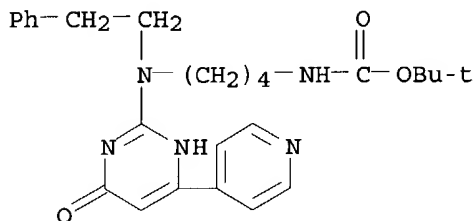
CN 4(1H)-Pyrimidinone, 2-[[[2-(2-methoxyphenyl)ethyl](phenylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



09/ 787,426

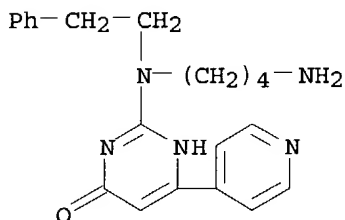
RN 362601-39-6 CAPLUS

CN Carbamic acid, [4-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl] (2-phenylethyl)amino]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 362601-41-0 CAPLUS

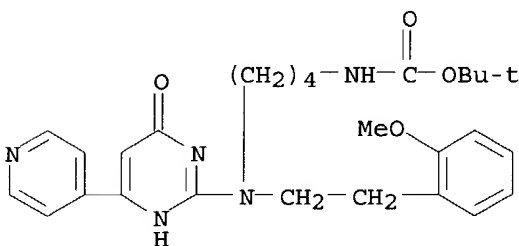
CN 4(1H)-Pyrimidinone, 2-[[[4-aminobutyl] (2-phenylethyl)amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 362601-42-1 CAPLUS

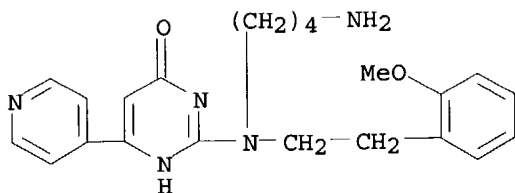
CN Carbamic acid, [4-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl] [2-(2-methoxyphenyl)ethyl]amino]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 362601-43-2 CAPLUS

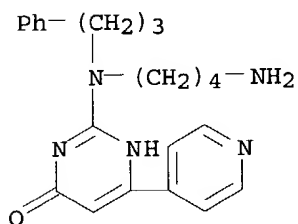
CN 4(1H)-Pyrimidinone, 2-[[[4-aminobutyl] [2-(2-methoxyphenyl)ethyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

09/ 787,426



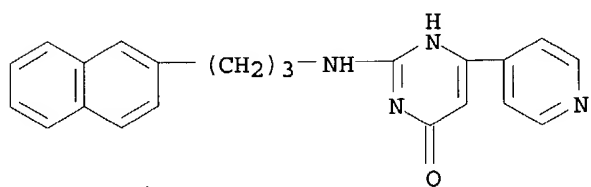
● 2 HCl

RN 362601-44-3 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[(4-aminobutyl)(3-phenylpropyl)amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



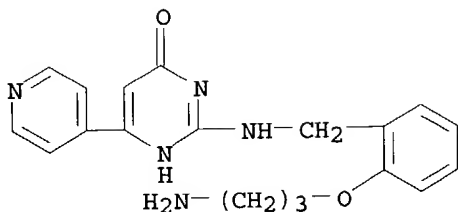
● 2 HCl

RN 362601-45-4 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[3-(2-naphthalenyl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



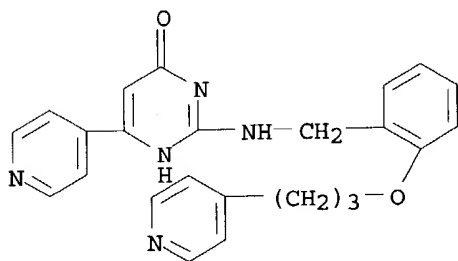
RN 362601-47-6 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[2-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

09/ 787,426

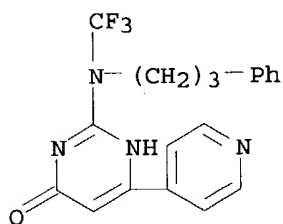


●2 HCl

RN 362601-49-8 CAPLUS  
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[2-(4-pyridinyl)propoxy]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 362601-50-1 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[(3-phenylpropyl)(trifluoromethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

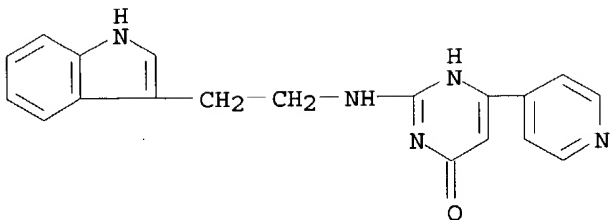


RN 362601-51-2 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 362048-04-2  
CMF C19 H17 N5 O

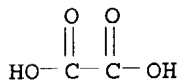
09/ 787,426



CM 2

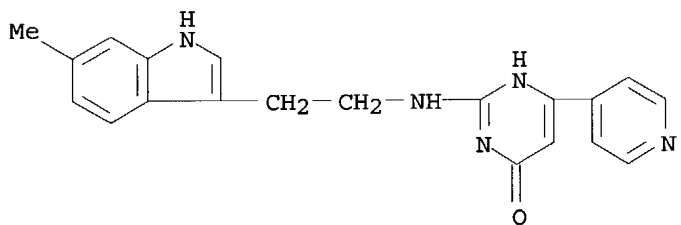
CRN 144-62-7

CMF C2 H2 O4



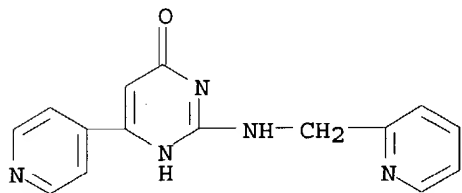
RN 362601-52-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(6-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 362601-54-5 CAPLUS

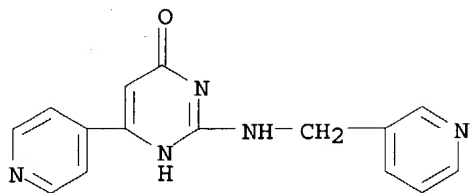
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 362601-55-6 CAPLUS

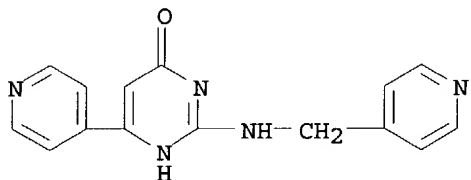
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[(3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

09/ 787,426



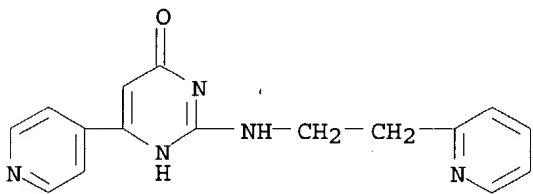
RN 362601-56-7 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[(4-pyridinylmethyl)amino]- (9CI)  
(CA INDEX NAME)



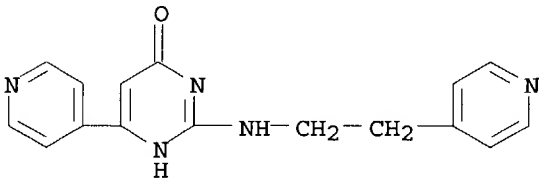
RN 362601-58-9 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[2-(2-pyridinyl)ethyl]amino]- (9CI)  
(CA INDEX NAME)



RN 362601-59-0 CAPLUS

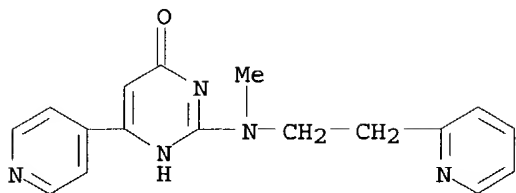
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[2-(4-pyridinyl)ethyl]amino]- (9CI)  
(CA INDEX NAME)



RN 362601-60-3 CAPLUS

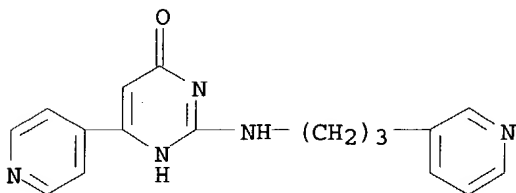
CN 4(1H)-Pyrimidinone, 2-[methyl[2-(2-pyridinyl)ethyl]amino]-6-(4-pyridinyl)- (9CI)  
(CA INDEX NAME)

09/ 787,426



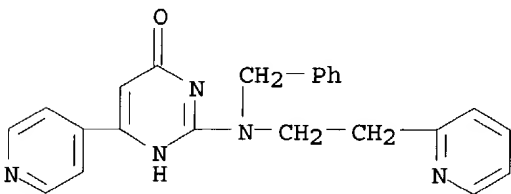
RN 362601-61-4 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[3-(3-pyridinyl)propyl]amino]-  
(9CI) (CA INDEX NAME)



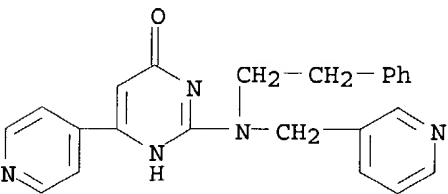
RN 362601-62-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(phenylmethyl)[2-(2-pyridinyl)ethyl]amino]-6-(4-  
pyridinyl)- (9CI) (CA INDEX NAME)



RN 362601-64-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(2-phenylethyl)(3-pyridinylmethyl)amino]-6-(4-  
pyridinyl)- (9CI) (CA INDEX NAME)

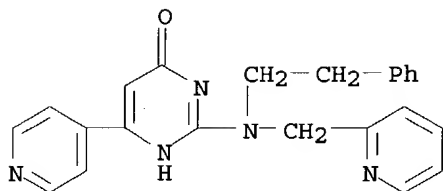


RN 362601-65-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(2-phenylethyl)(2-pyridinylmethyl)amino]-6-(4-  
pyridinyl)- (9CI) (CA INDEX NAME)

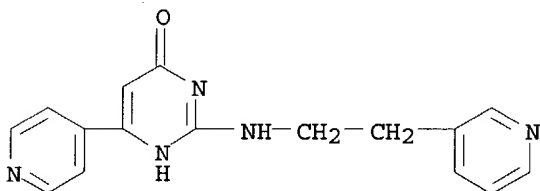


09/ 787,426



RN 362601-67-0 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[2-(3-pyridinyl)ethyl]amino]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:709747 CAPLUS

DOCUMENT NUMBER: 135:257262

TITLE: Preparation of 2-[(heteroaryl)alkylamino]pyrimidones  
as GSK3 $\beta$  inhibitors

INVENTOR(S): Almario-Garcia, Antonio; Frost, Jonathan Reid; Li,  
Adrien-Tak

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo  
Pharmaceuticals, Inc.

SOURCE: Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

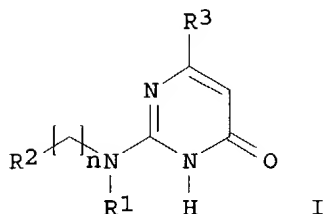
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1136491	A1	20010926	EP 2000-400806	20000323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 2001070727	A1	20010927	WO 2001-EP3638	20010322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2000-400804 A 20000323  
EP 2000-400805 A 20000323  
EP 2000-400806 A 20000323  
JP 2000-81938 A 20000323

OTHER SOURCE(S): MARPAT 135:257262

09/ 787,426

GI



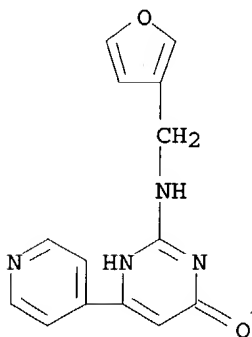
AB The title compds. [I; R1 = H, alkyl; R2 = (un)substituted furyl, thienyl, pyrrolyl or imidazolyl; R3 = 2-, 3- or 4-pyridyl optionally substituted by alkyl, alkoxy or halogen; n = 1-5] which are used for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3 $\beta$  such as Alzheimer's disease, Parkinson's disease, frontoparietal dementia, corticobasal degeneration, Pick's disease, cerebrovascular accidents, brain and spinal trauma, and peripheral neuropathies, were prepared and formulated. Thus, reacting 2-(methylthio)-6-(pyridin-4-yl)pyrimidin-4(1H)-one (preparation given) with 3-furylmethylamine afforded I [R1 = H; R2 = 3-furyl; R3 = 4-pyridyl; n = 1]. The exemplified compds. I showed IC50's of 0.3-10  $\mu$ M against GSK3 $\beta$ .

IT 361484-66-4P 361484-67-5P 361484-68-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 2-[(heteroaryl)alkylamino]pyrimidones as GSK3 $\beta$  inhibitors)

RN 361484-66-4 CAPLUS

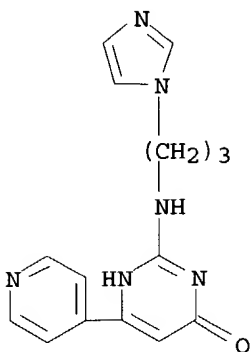
CN 4(1H)-Pyrimidinone, 2-[(3-furanylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



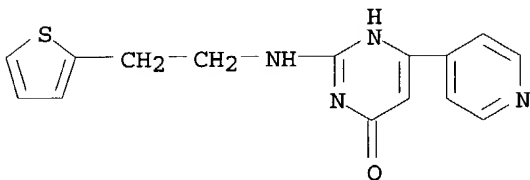
RN 361484-67-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(1H-imidazol-1-yl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

09/ 787,426



RN 361484-68-6 CAPLUS  
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[2-(2-thienyl)ethyl]amino]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2001:709744 CAPLUS  
DOCUMENT NUMBER: 135:257260  
TITLE: Preparation of 2-[(indanylamino)pyrimidones and  
2-[tetrahydronaphthalenylamino]pyrimidones as  
GSK3 $\beta$  inhibitors  
INVENTOR(S): Almario-Garcia, Antonio; Frost, Jonathan Reid; Li,  
Adrien-Tak  
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo  
Pharmaceuticals, Inc.  
SOURCE: Eur. Pat. Appl., 12 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1136486	A1	20010926	EP 2000-400808	20000323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 2001070725	A1	20010927	WO 2001-EP3636	20010322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				

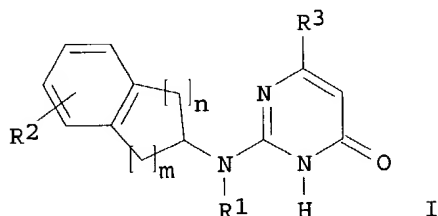
09/ 787,426

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2000-400808 A 20000323

OTHER SOURCE(S): MARPAT 135:257260

GI



AB The title compds. [I; R1 = H, alkyl; R2 = H, alkyl, halo, etc.; R3 = 2-, 3- or 4-pyridyl group optionally substituted by alkyl, alkoxy or a halogen atom; n = 0-1; when n = 0 then m = 2 or 3, and when n = 1 then m = 1 or 2] which is used for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3 $\beta$  such as Alzheimer's disease, Parkinson's disease, frontoparietal dementia, corticobasal degeneration, Pick's disease, cerebrovascular accidents and brain and spinal trauma and peripheral neuropathies, were prepared and formulated. E.g., a 3-step synthesis of I [R1, R2 = H; R3 = 4-pyridyl; n, m = 1] which showed IC<sub>50</sub> of 0.1  $\mu$ M against GSK3 $\beta$ , was given.

IT 361458-95-9P

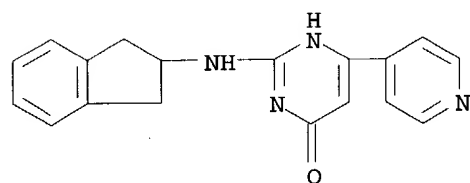
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 2-[(indanylamino)pyrimidones and 2-[tetrahydronaphthalenylamino]pyrimidones as GSK3 $\beta$  inhibitors)

RN 361458-95-9 CAPLUS

```

RN      361438-93-9      CAPLOS
CN      4(1H)-Pyrimidinone, 2-[(2,3-dihydro-1H-inden-2-yl)amino]-6-(4-pyridinyl)-
      (9CI) (CA INDEX NAME)

```



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:709742 CAPLUS

DOCUMENT NUMBER: 135:257258

DOCUMENT NUMBER: 199-257290  
TITLE: Preparation of 2-(arylalkylamino)pyrimidones as  
GSK3 $\beta$  inhibitors

INVENTOR(S) :           Almario-Garcia, Antonio; Frost, Jonathan Reid; Li,  
Adrien-Tak; Ando, Ryoichi; Watanabe, Kazutoshi

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo  
Pharmaceuticals, Inc.

SOURCE: Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

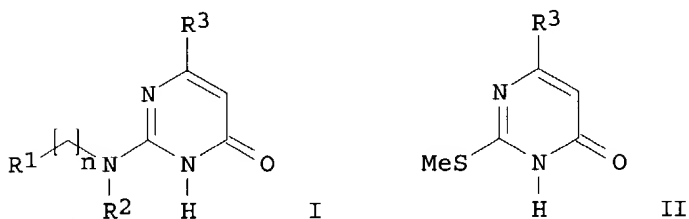
09/ 787,426

LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 4  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1136484	A1	20010926	EP 2000-400804	20000323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 2001070727	A1	20010927	WO 2001-EP3638	20010322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:  
EP 2000-400804 A 20000323  
EP 2000-400805 A 20000323  
EP 2000-400806 A 20000323  
JP 2000-81938 A 20000323

OTHER SOURCE(S): MARPAT 135:257258  
GI



AB The title compds. [I; R1 = unsubstituted naphth-1-yl, unsubstituted naphth-2-yl, substituted aryl; when n = 4-5 then R1 can represent unsubstituted Ph; R2 = H, alkyl; R3 = 2-, 3- or 4-pyridyl optionally substituted by alkyl, alkoxy group or a halogen atom] which are used for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3 $\beta$ , were prepared and formulated. The compds. I were prepared by reacting the propionate R3COCH<sub>2</sub>COOR with the amidine R1(CH<sub>2</sub>)<sub>n</sub>NR<sub>2</sub>C(:NH)NH<sub>2</sub> or by reacting the pyrimidinone II with amine R1(CH<sub>2</sub>)<sub>n</sub>NHR<sub>2</sub>. All exemplified compds. I such as I [R1 = 3,4-(MeO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>; R2 = H; R3 = 4-pyridyl; n = 1] showed IC<sub>50</sub> of 0.01-10  $\mu$ M against GSK3 $\beta$ .

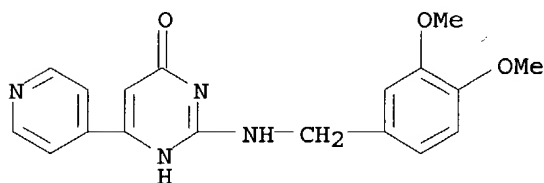
IT 361542-10-1P 361542-11-2P 361542-12-3P  
361542-13-4P 361542-14-5P 361542-15-6P  
361542-16-7P 361542-17-8P 361542-18-9P  
361542-19-0P 361542-20-3P 361542-21-4P  
361542-22-5P 361542-23-6P 361542-24-7P  
361542-25-8P 361542-26-9P 361542-27-0P  
361542-28-1P 361542-29-2P 361542-30-5P  
361542-31-6P 361542-32-7P 361542-33-8P  
361542-34-9P 361542-35-0P 361542-36-1P  
361542-37-2P 361542-38-3P 361542-39-4P  
361542-40-7P 361542-41-8P 361542-42-9P  
361542-43-0P 361542-44-1P 361542-45-2P

361542-46-3P 361542-47-4P 361542-48-5P  
 361542-49-6P 361542-50-9P 361542-51-0P  
 361542-52-1P 361542-53-2P 361542-54-3P  
 361542-55-4P 361542-56-5P 361542-57-6P  
 361542-58-7P 361542-59-8P 361542-60-1P  
 361542-61-2P 361542-62-3P 361542-63-4P  
 361542-64-5P 361542-65-6P 361542-66-7P  
 361542-67-8P 361542-68-9P 361542-69-0P  
 361542-70-3P 361542-71-4P 361542-72-5P  
 361542-73-6P 361542-74-7P 361542-75-8P  
 361542-76-9P 361542-77-0P 361542-78-1P  
 361542-79-2P 361542-80-5P 361542-81-6P  
 361542-82-7P 361542-83-8P 361542-84-9P  
 361542-85-0P 361542-86-1P 361542-87-2P  
 361542-88-3P 361542-89-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 2-(arylalkylamino)pyrimidones as GSK3 $\beta$  inhibitors)

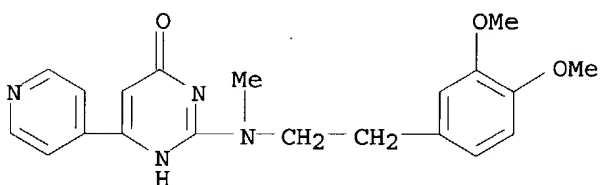
RN 361542-10-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3,4-dimethoxyphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



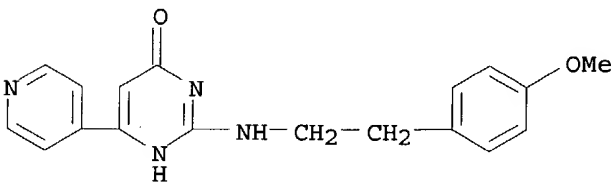
RN 361542-11-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



RN 361542-12-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[2-(4-methoxyphenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

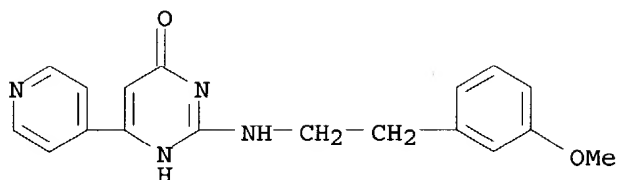


RN 361542-13-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[2-(3-methoxyphenyl)ethyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

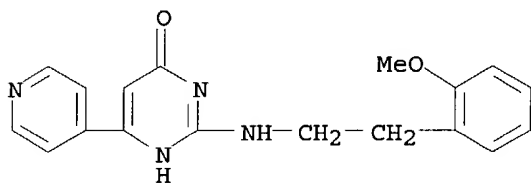
09/ 787,426

(9CI) (CA INDEX NAME)



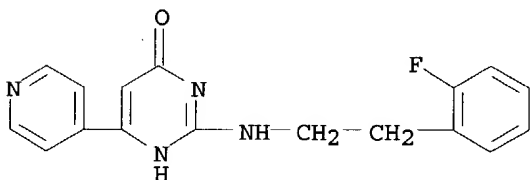
RN 361542-14-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-methoxyphenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



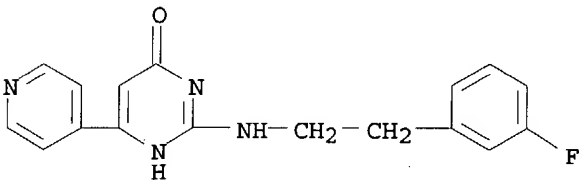
RN 361542-15-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-fluorophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-16-7 CAPLUS

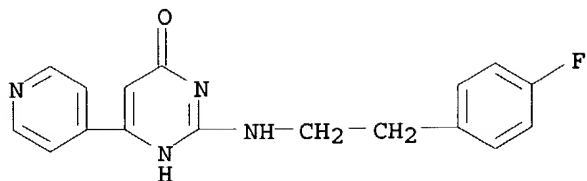
CN 4(1H)-Pyrimidinone, 2-[[2-(3-fluorophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-17-8 CAPLUS

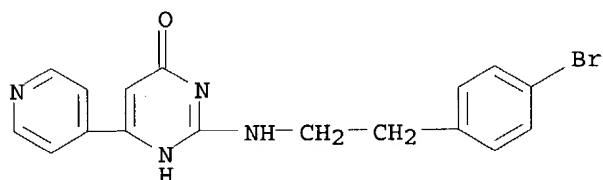
CN 4(1H)-Pyrimidinone, 2-[[2-(4-fluorophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

09/ 787,426



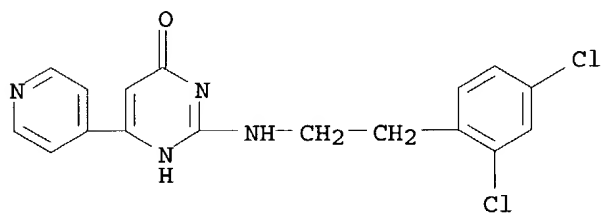
RN 361542-18-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-bromophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



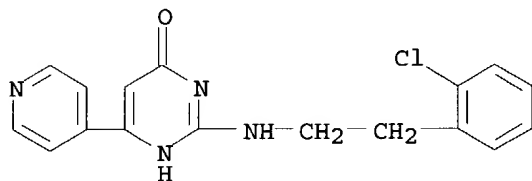
RN 361542-19-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2,4-dichlorophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-20-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-chlorophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

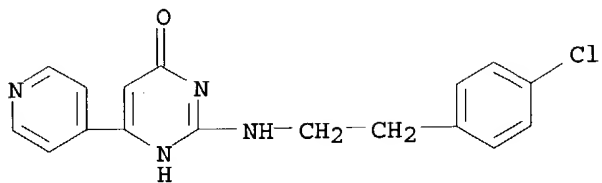


RN 361542-21-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-chlorophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

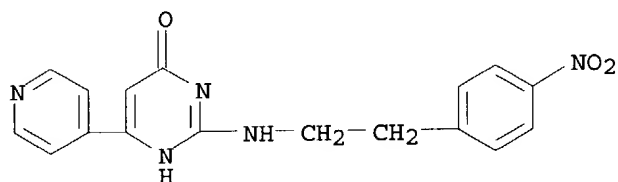


09/ 787,426



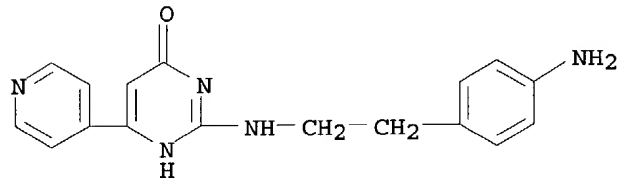
RN 361542-22-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-nitrophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



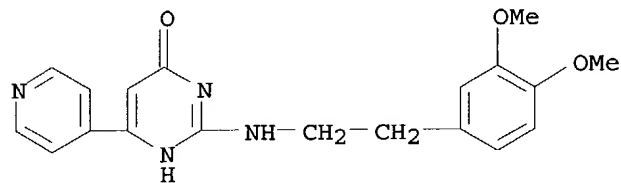
RN 361542-23-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-aminophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-24-7 CAPLUS

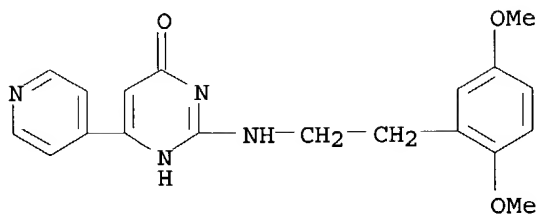
CN 4(1H)-Pyrimidinone, 2-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



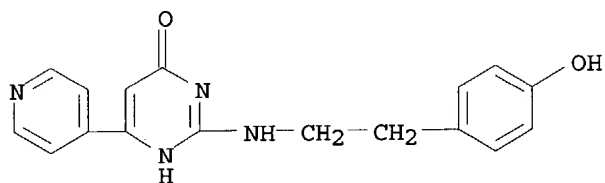
RN 361542-25-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2,5-dimethoxyphenyl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

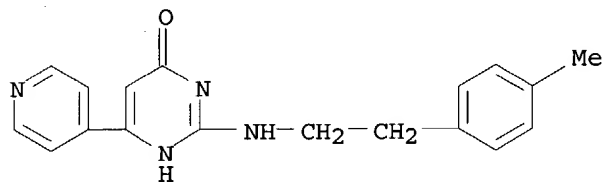
09/ 787,426



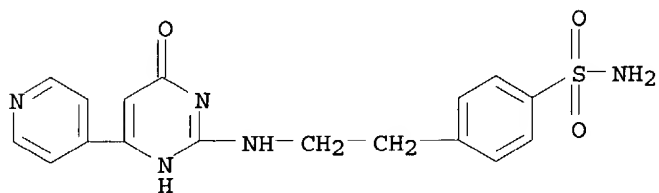
RN 361542-26-9 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[2-(4-hydroxyphenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-27-0 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[2-(4-methylphenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

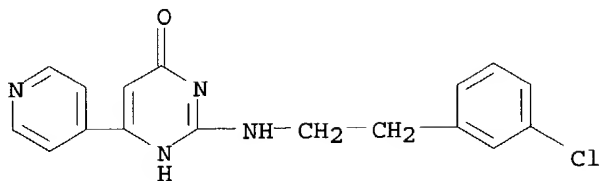


RN 361542-28-1 CAPLUS  
CN Benzenesulfonamide, 4-[2-[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]ethyl]- (9CI) (CA INDEX NAME)

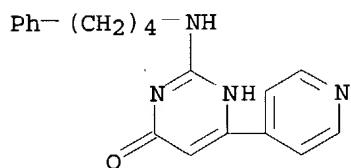


RN 361542-29-2 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[2-(3-chlorophenyl)ethyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

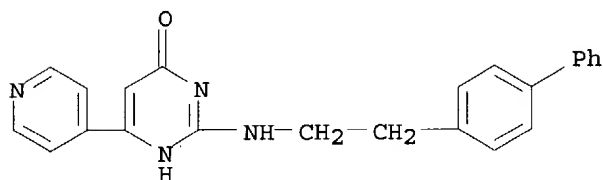
09/ 787,426



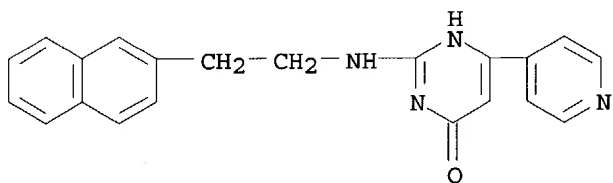
RN 361542-30-5 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[(4-phenylbutyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 361542-31-6 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[(2-[1,1'-biphenyl]-4-ylethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

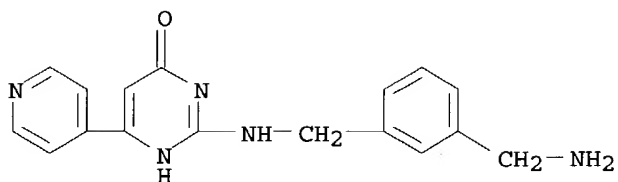


RN 361542-32-7 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[2-(2-naphthalenyl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



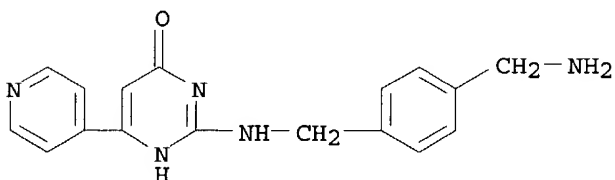
RN 361542-33-8 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

09/ 787,426



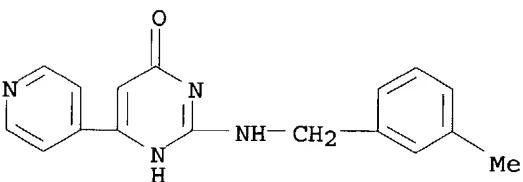
● 2 HCl

RN 361542-34-9 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[4-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

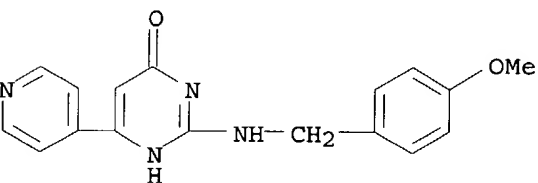


● 2 HCl

RN 361542-35-0 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[(3-methylphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

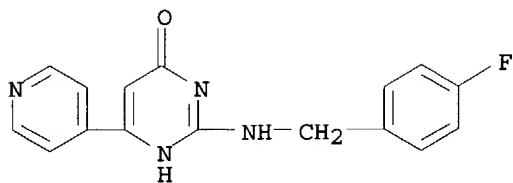


RN 361542-36-1 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[(4-methoxyphenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



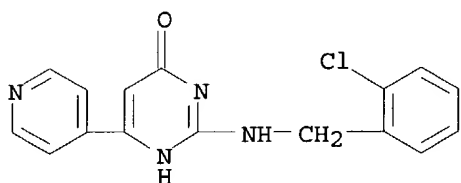
RN 361542-37-2 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[(4-fluorophenyl)methyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

09/ 787,426



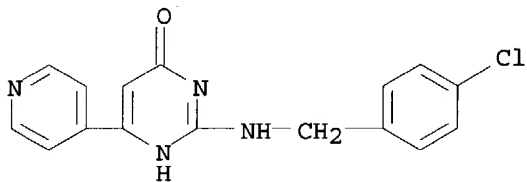
RN 361542-38-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(4-chlorophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



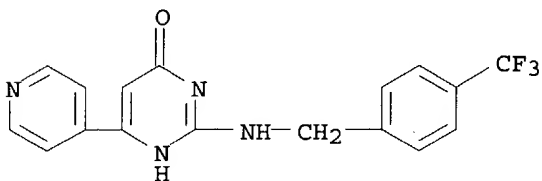
RN 361542-39-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[4-(4-chlorophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



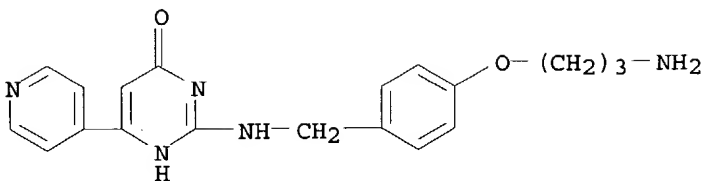
RN 361542-40-7 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[4-(trifluoromethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 361542-41-8 CAPLUS

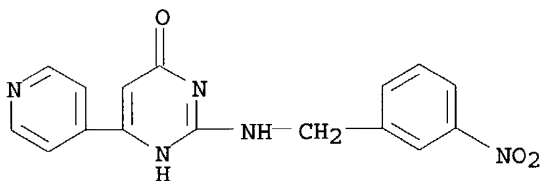
CN 4(1H)-Pyrimidinone, 2-[[[4-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●<sub>2</sub> HCl

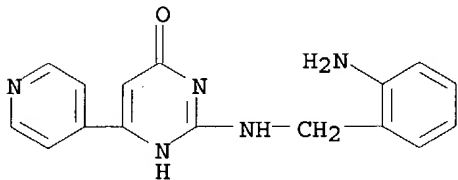
RN 361542-42-9 CAPLUS

4(1H) - Pyrimidinone, 2-[[ (3-nitrophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



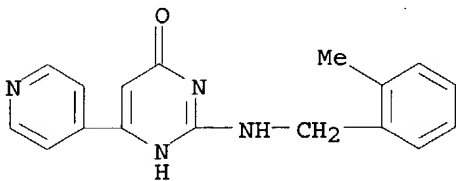
RN 361542-43-0 CAPLUS

4 (1H) -Pyrimidinone, 2-[[ (2-aminophenyl)methyl] amino] -6- (4-pyridinyl) -  
(9CI) (CA INDEX NAME)



RN 361542-44-1 CAPLUS

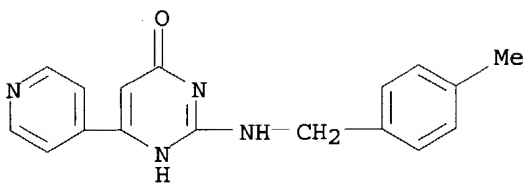
CN 4(1H)-Pyrimidinone, 2-[[ (2-methylphenyl) methyl] amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-45-2 CAPLUS

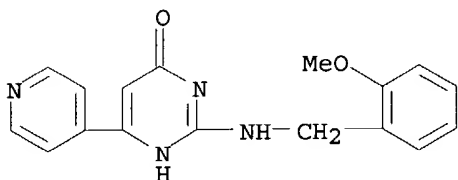
CN 4(1H)-Pyrimidinone, 2-[[ (4-methylphenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

09/ 787,426



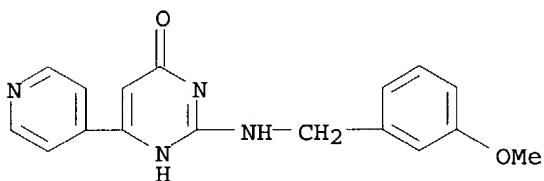
RN 361542-46-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[2-methoxyphenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



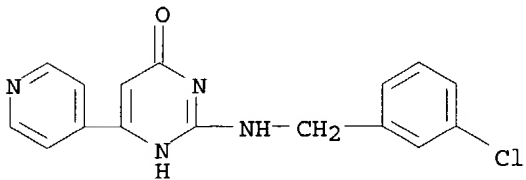
RN 361542-47-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-methoxyphenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-48-5 CAPLUS

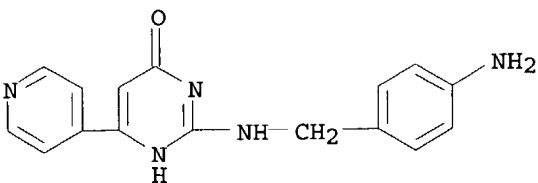
CN 4(1H)-Pyrimidinone, 2-[[[3-chlorophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



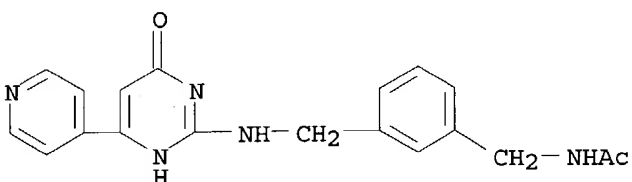
RN 361542-49-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-aminophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

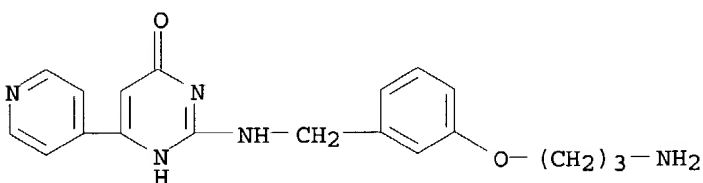
09/ 787,426



RN 361542-50-9 CAPLUS  
CN Acetamide, N-[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

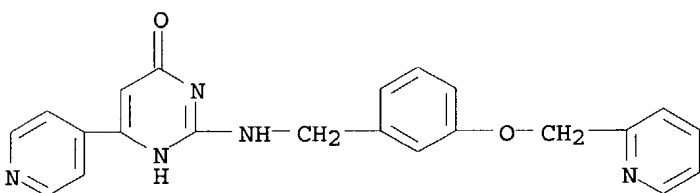


RN 361542-51-0 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[3-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

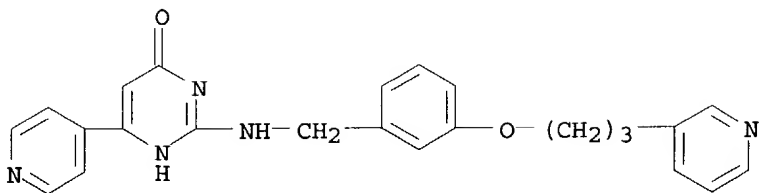
RN 361542-52-1 CAPLUS  
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(2-pyridinylmethoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 361542-53-2 CAPLUS  
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[3-(3-pyridinyl)propoxy]phenyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



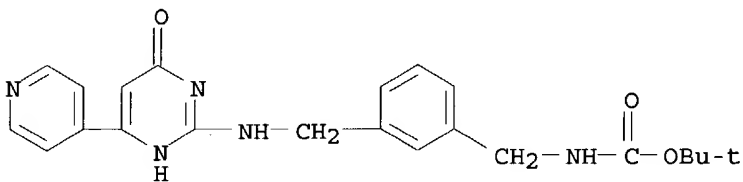
09/ 787,426



●2 HCl

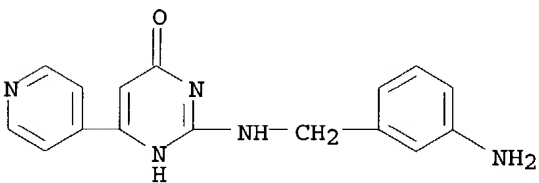
RN 361542-54-3 CAPLUS

CN Carbamic acid, [[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)



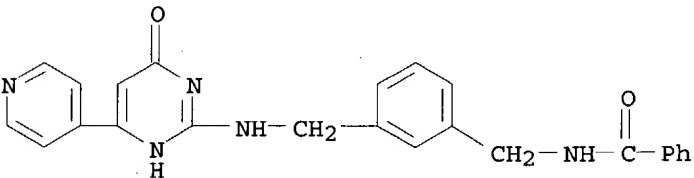
RN 361542-55-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminophenyl)methyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-56-5 CAPLUS

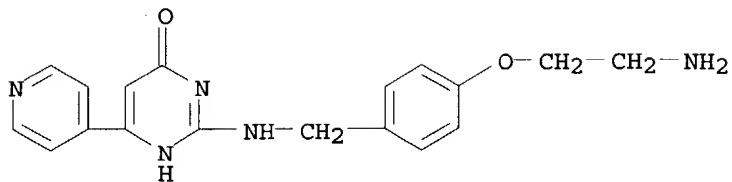
CN Benzamide, N-[[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 361542-57-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

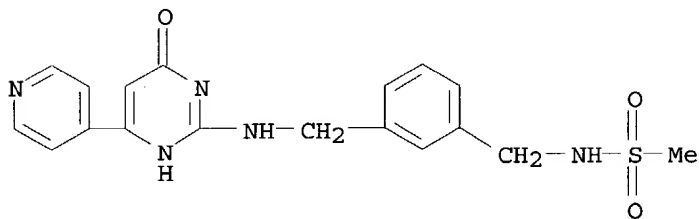
09/ 787,426



● 2 HCl

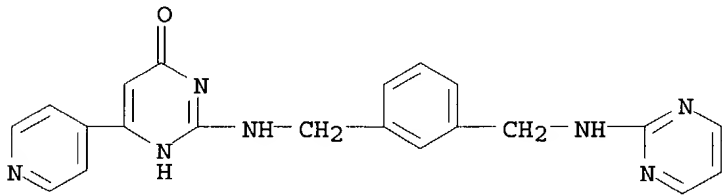
RN 361542-58-7 CAPLUS

CN Methanesulfonamide, N-[[[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]amino]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



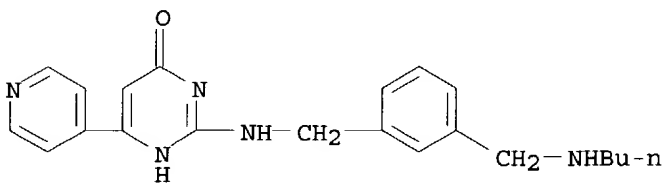
RN 361542-59-8 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[(2-pyrimidinylamino)methyl]phenyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 361542-60-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-[(butylamino)methyl]phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

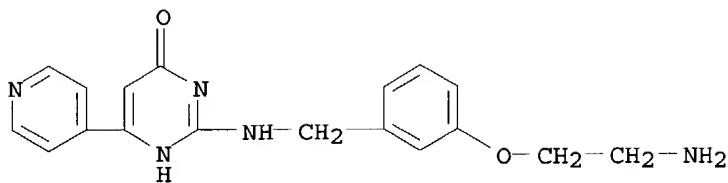


●<sub>2</sub> HCl

RN 361542-61-2 CAPLUS

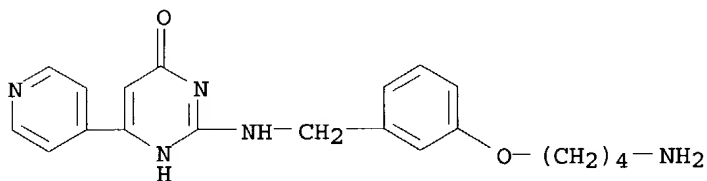
CN 4(1H)-Pyrimidinone, 2-[[[3-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

09/ 787,426



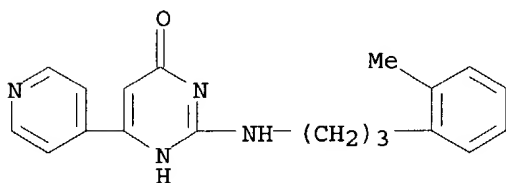
●2 HCl

RN 361542-62-3 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[3-(4-aminobutoxy)phenyl]methyl]amino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

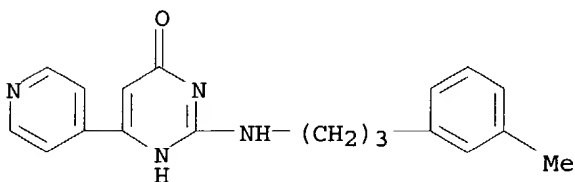


●2 HCl

RN 361542-63-4 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[3-(2-methylphenyl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



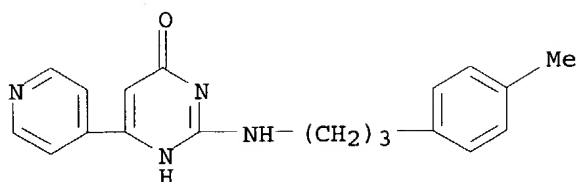
RN 361542-64-5 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[3-(3-methylphenyl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 361542-65-6 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[3-(4-methylphenyl)propyl]amino]-6-(4-pyridinyl)-

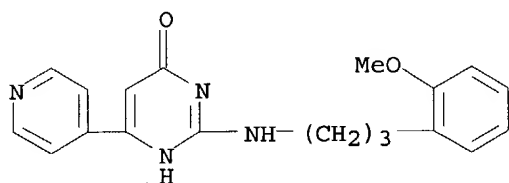
09/ 787,426

(9CI) (CA INDEX NAME)



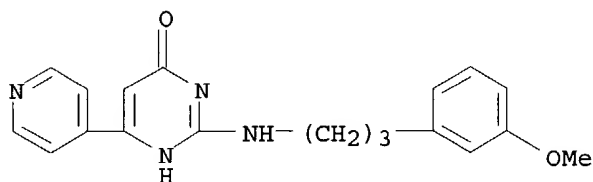
RN 361542-66-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(2-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



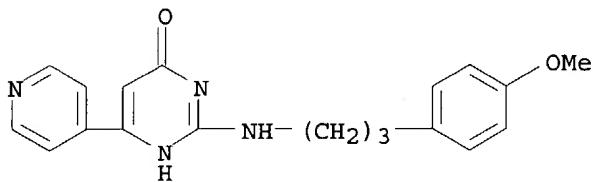
RN 361542-67-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(3-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-68-9 CAPLUS

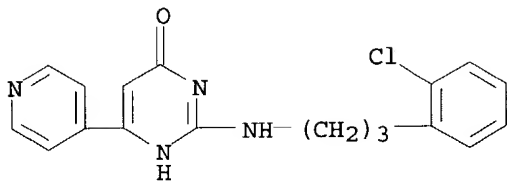
CN 4(1H)-Pyrimidinone, 2-[[3-(4-methoxyphenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-69-0 CAPLUS

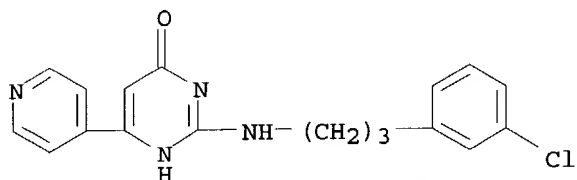
CN 4(1H)-Pyrimidinone, 2-[[3-(2-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)

09/ 787,426



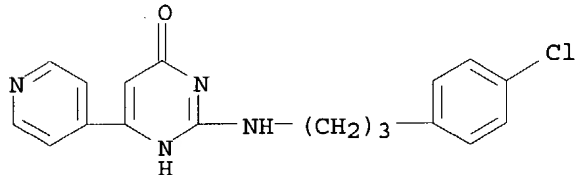
RN 361542-70-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(3-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



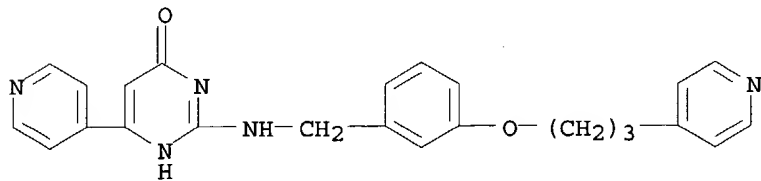
RN 361542-71-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(4-chlorophenyl)propyl]amino]-6-(4-pyridinyl)-  
(9CI) (CA INDEX NAME)



RN 361542-72-5 CAPLUS

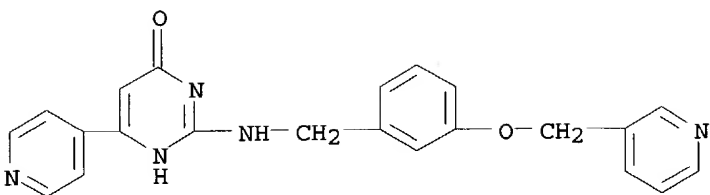
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[3-(4-pyridinyl)propoxy]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



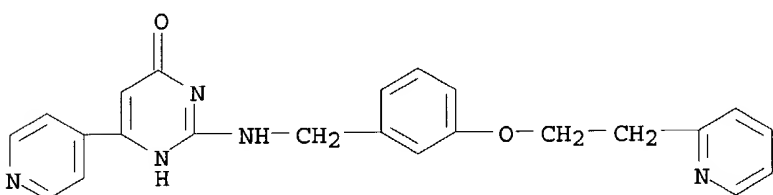
RN 361542-73-6 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(3-pyridinylmethoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

09/ 787,426

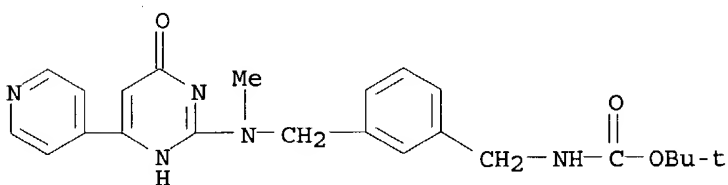


RN 361542-74-7 CAPLUS  
CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[2-(2-pyridinyl)ethoxy]phenyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

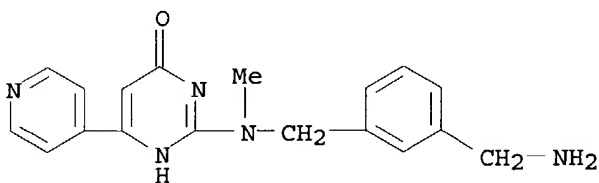


●2 HCl

RN 361542-75-8 CAPLUS  
CN Carbamic acid, [[3-[[[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]methylamino]methyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 361542-76-9 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]methylamino]-6-(4-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

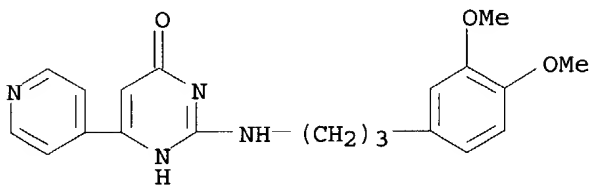


●2 HCl

09/ 787,426

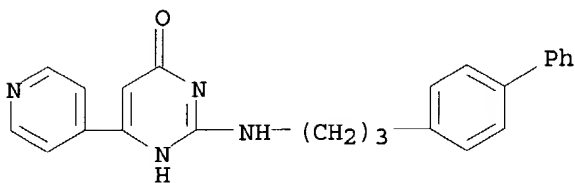
RN 361542-77-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-(3,4-dimethoxyphenyl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



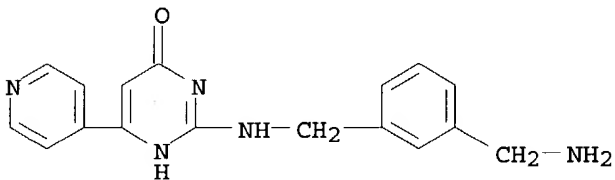
RN 361542-78-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[3-[1,1'-biphenyl]-4-ylpropyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



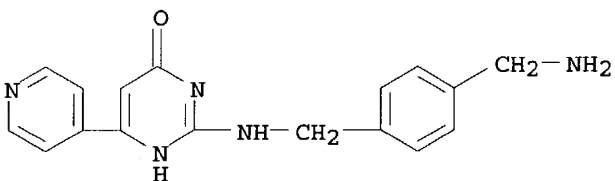
RN 361542-79-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 361542-80-5 CAPLUS

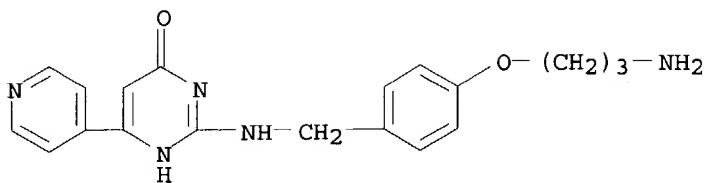
CN 4(1H)-Pyrimidinone, 2-[[[4-(aminomethyl)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 361542-81-6 CAPLUS

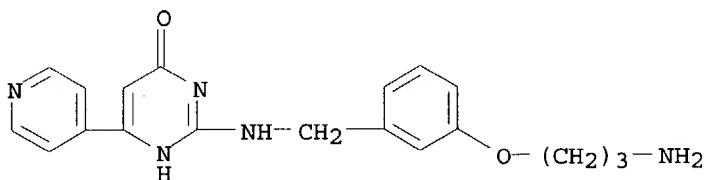
CN 4(1H)-Pyrimidinone, 2-[[[4-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

09/ 787,426



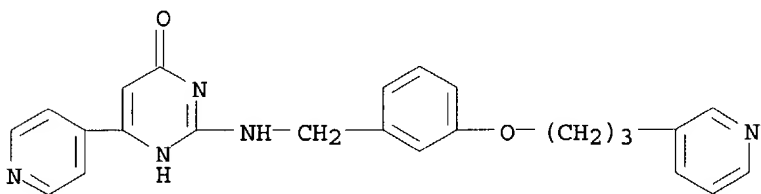
RN 361542-82-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(3-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



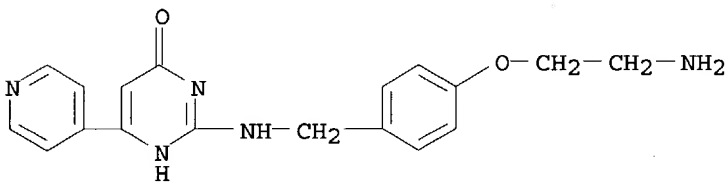
RN 361542-83-8 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-(3-aminopropoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 361542-84-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[4-(2-aminopropoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

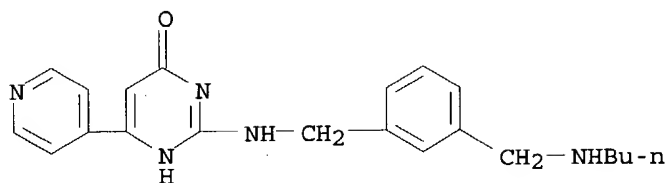


RN 361542-85-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-[(butylamino)methyl]phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

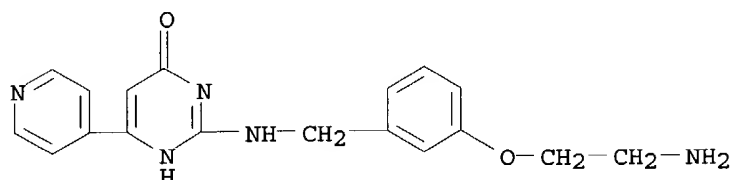


09/ 787,426



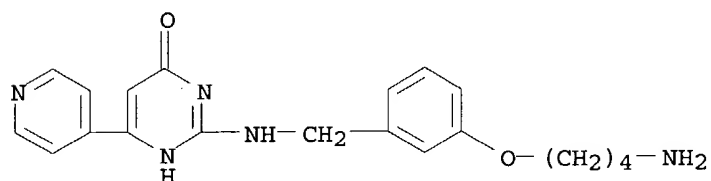
RN 361542-86-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(2-aminoethoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



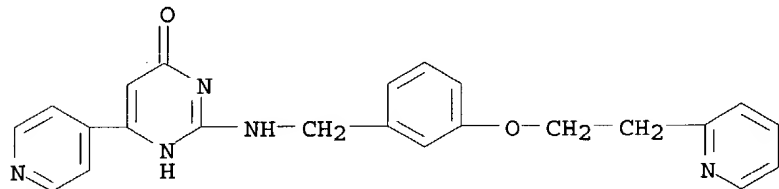
RN 361542-87-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[3-(4-aminobutoxy)phenyl]methyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



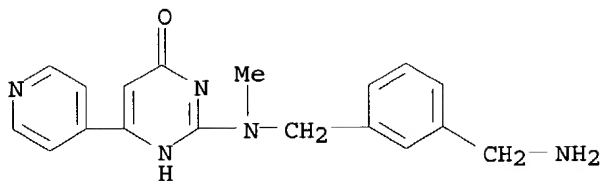
RN 361542-88-3 CAPLUS

CN 4(1H)-Pyrimidinone, 6-(4-pyridinyl)-2-[[[3-[2-(2-pyridinyl)ethoxy]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 361542-89-4 CAPLUS

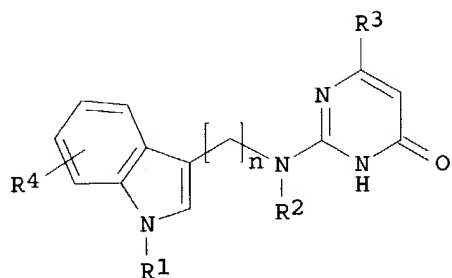
CN 4(1H)-Pyrimidinone, 2-[[[3-(aminomethyl)phenyl]methyl]methylamino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:709694 CAPLUS  
 DOCUMENT NUMBER: 135:262238  
 TITLE: Preparation of 2-(indolylalkylamino)pyrimidone derivatives as gsk3beta inhibitors  
 INVENTOR(S): Almario-Garcia, Antonio; Frost, Jonathan Reid; Li, Adrien-Tak  
 PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo Pharmaceuticals, Inc.  
 SOURCE: Eur. Pat. Appl., 14 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1136099	A1	20010926	EP 2000-400805	20000323
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 2001070727	A1	20010927	WO 2001-EP3638	20010322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			EP 2000-400804	A 20000323
			EP 2000-400805	A 20000323
			EP 2000-400806	A 20000323
			JP 2000-81938	A 20000323
OTHER SOURCE(S):			MARPAT 135:262238	
GI				



I

AB A pyrimidone derivative represented by formula I or a salt thereof: wherein: R1 represents a hydrogen atom or a C1-6 alkyl group; R2 represents a hydrogen atom or a C1-6 alkyl group; R3 represents a 2, 3 or 4-pyridyl group optionally substituted by a C1-4 alkyl group, a C1-4 alkoxy group or a halogen atom; R4 represents a hydrogen atom, a C1-6 alkyl group, a halogen atom, a C1-2 perhalogenated alkyl group, a C1-3 halogenated alkyl group, a hydroxyl group, a C1-6 alkoxy group, methylenedioxy group, a nitro, a cyano, an amino, a C1-6 monoalkylamino group, C2-12 dialkylamino group, a C1-6 alkylcarbonylamino group, C6-10 arylcarbonylamino group, a Ph group or a benzyloxy group; and n represents 1 to 5. And a medicament comprising the said derivative or a salt thereof as an active ingredient which is used for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3 $\beta$  (as glycogen synthase kinase 3 $\beta$ ) such as Alzheimer's disease, Parkinson's disease, frontoparietal dementia, corticobasal degeneration, Pick's disease, cerebrovascular accidents, brain and spinal cord trauma and peripheral neuropathies. A solution of 2-(methylthio)-6-pyridinyl-4-ylpyrimidin-4(1H)-one and different indolylalkylamines in amyl alc. were heated at 150° for 72 h to obtain 2-[indolylalkylamino]-6-pyridin-4-ylpyrimidin-4(1H)-one derivs. Inhibitory activity of the above derivs. against gsk3 $\beta$  was tested. A tablet contained a 2-(indolylalkylamino)pyrimidone derivative 30, crystalline cellulose 60, corn starch 100, lactose 200, and magnesium stearate 4 mg.

IT 362048-05-3P 362048-06-4P 362048-07-5P  
362048-08-6P 362048-09-7P 362048-10-0P  
362048-11-1P 362048-12-2P 362048-13-3P  
362048-14-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolylalkylaminopyrimidone derivs. as glycogen synthase kinase inhibitors)

RN 362048-05-3 CAPLUS

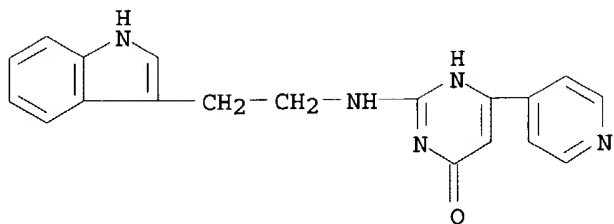
CN 4(1H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 362048-04-2

CMF C19 H17 N5 O

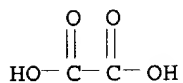
09/ 787,426



CM 2

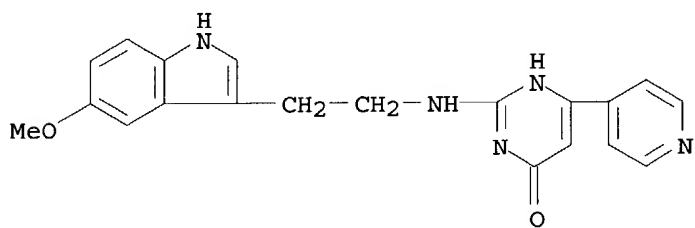
CRN 144-62-7

CMF C2 H2 O4



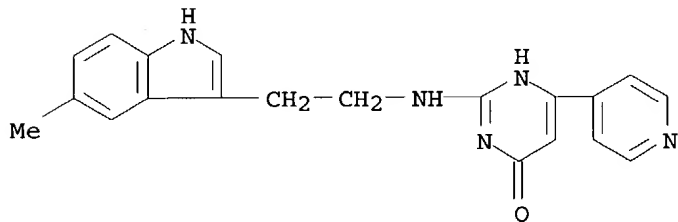
RN 362048-06-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(5-methoxy-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 362048-07-5 CAPLUS

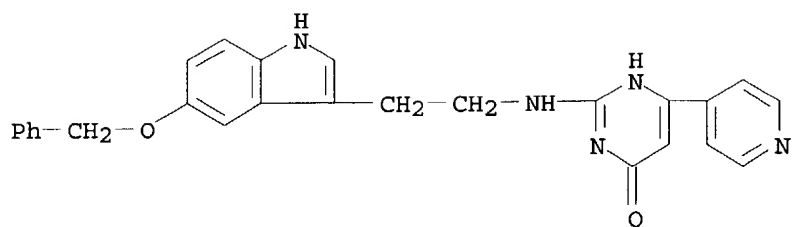
CN 4(1H)-Pyrimidinone, 2-[[2-(5-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 362048-08-6 CAPLUS

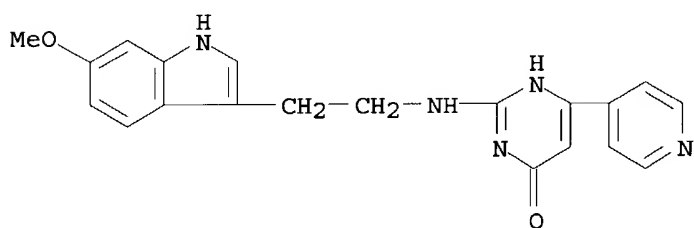
CN 4(1H)-Pyrimidinone, 2-[[2-[5-(phenylmethoxy)-1H-indol-3-yl]ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

09/ 787,426



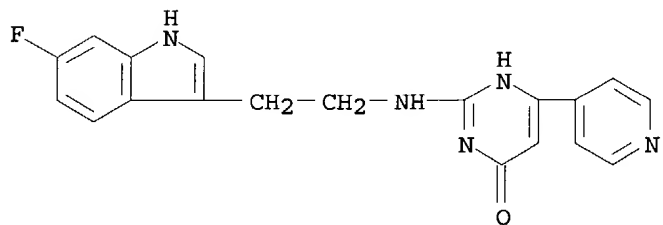
RN 362048-09-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(6-methoxy-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



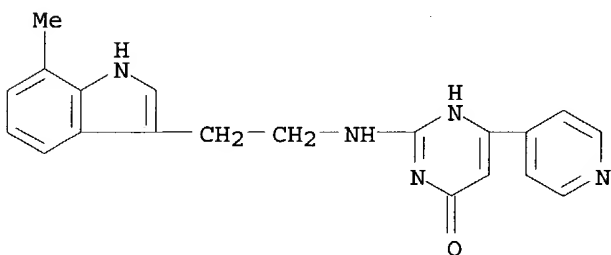
RN 362048-10-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(6-fluoro-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 362048-11-1 CAPLUS

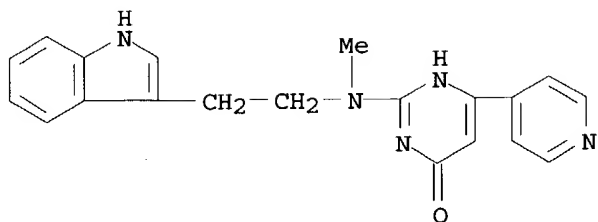
CN 4(1H)-Pyrimidinone, 2-[[2-(7-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 362048-12-2 CAPLUS

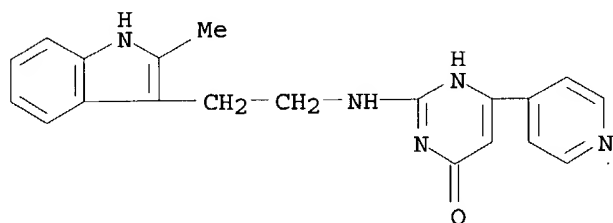
CN 4(1H)-Pyrimidinone, 2-[[2-(1H-indol-3-yl)ethyl]methylamino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

09/ 787,426



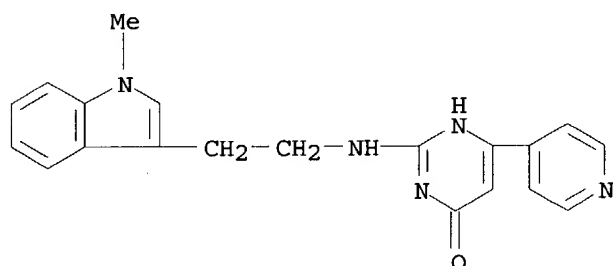
RN 362048-13-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 362048-14-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(1-methyl-1H-indol-3-yl)ethyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:531662 CAPLUS

DOCUMENT NUMBER: 133:120343

TITLE: Preparation of arylpyrimidinones and analogs as drugs  
INVENTOR(S): Spohr, Ulrike D.; Malone, Michael J.; Mantlo, Nathan B.

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: U.S., 92 pp., Cont.-in-part of U.S. Ser. No. 976,053, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----

09/ 787,426

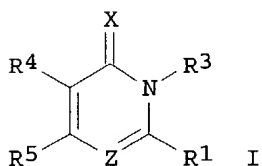
US 6096753	A	20000801	US 1997-985346	19971204
ZA 9710727	A	19980612	ZA 1997-10727	19971128
CN 1246857	A	20000308	CN 1997-181558	19971204
TW 520362	B	20030211	TW 1997-86118244	19971204
EP 1314731	A2	20030528	EP 2002-27704	19971204
EP 1314731	A3	20040102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, RO, MK, AL				
EP 1314732	A2	20030528	EP 2002-27705	19971204
EP 1314732	A3	20040102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, AL				
ZA 9710911	A	19980605	ZA 1997-10911	19971205
US 6420385	B1	20020716	US 2000-504509	20000215
US 6410729	B1	20020625	US 2000-598740	20000621
US 2003069425	A1	20030410	US 2002-117552	20020403
US 6610698	B2	20030826		
US 2003073704	A1	20030417	US 2002-128271	20020423
US 6649604	B2	20031118		

PRIORITY APPLN. INFO.:

US 1996-32128P	P	19961205
US 1997-50950P	P	19970613
US 1997-976053	B2	19971121
US 1997-976054	A	19971121
EP 1997-954778	A3	19971204
US 1997-984774	B1	19971204
US 1997-985346	A3	19971204
US 2000-504509	A3	20000215
US 2000-598740	A3	20000621

OTHER SOURCE(S): MARPAT 133:120343

GI



AB Title compds. [e.g., I; Z = N or CR<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = R or Z<sub>1</sub>R; R = H, halo, alkoxy(carbonyl), amino(carbonyl or sulfonyl), etc.; R<sub>3</sub> = Z<sub>1</sub>R; R<sub>4</sub>, R<sub>5</sub> = (un)substituted (hetero)aryl; X = O, S, (un)substituted imino; Z<sub>1</sub> = alkylene, heterocyclylene, (hetero)arylene, etc.] were prepared as agents for reduction of, e.g., TNF- $\alpha$  levels. Thus, 4-FC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CO<sub>2</sub>Et was acylated by Et isonicotinate and the product cyclocondensed with (H<sub>2</sub>N)<sub>2</sub>CS to give, after N-methylation, I (R<sub>3</sub> = Me, R<sub>4</sub> = C<sub>6</sub>H<sub>4</sub>F-4, R<sub>5</sub> = 4-pyridyl, X = O) (II; R<sub>1</sub> = SH) which was aminated by 2-FC<sub>6</sub>H<sub>4</sub>CH(NH<sub>2</sub>)CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> to give II [R<sub>1</sub> = NHCH<sub>2</sub>CH<sub>2</sub>CH(NH<sub>2</sub>)C<sub>6</sub>H<sub>4</sub>F-2]. Data for biol. activity of I were given.

IT 208653-57-0P 208653-58-1P 208653-59-2P  
208653-60-5P 208653-61-6P 208653-62-7P  
208654-83-5P

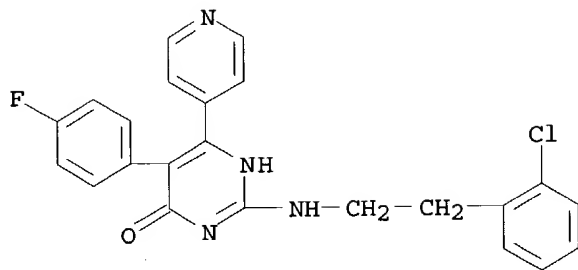
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylpyrimidinones and analogs as drugs)

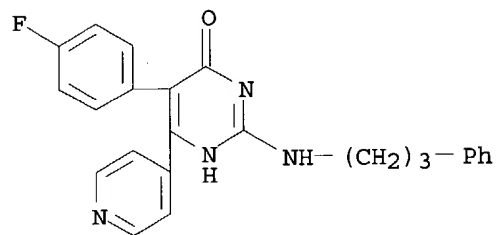
RN 208653-57-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-chlorophenyl)ethyl]amino]-5-(4-fluorophenyl)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

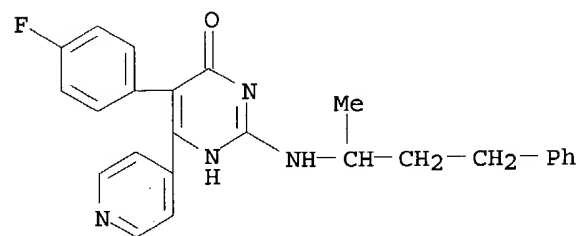
09/ 787,426



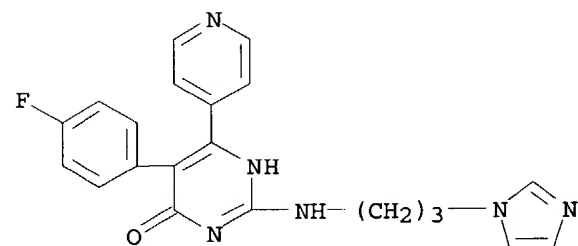
RN 208653-58-1 CAPLUS  
CN 4(1H)-Pyrimidinone, 5-(4-fluorophenyl)-2-[(3-phenylpropyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 208653-59-2 CAPLUS  
CN 4(1H)-Pyrimidinone, 5-(4-fluorophenyl)-2-[(1-methyl-3-phenylpropyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 208653-60-5 CAPLUS  
CN 4(1H)-Pyrimidinone, 5-(4-fluorophenyl)-2-[[3-(1H-imidazol-1-yl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



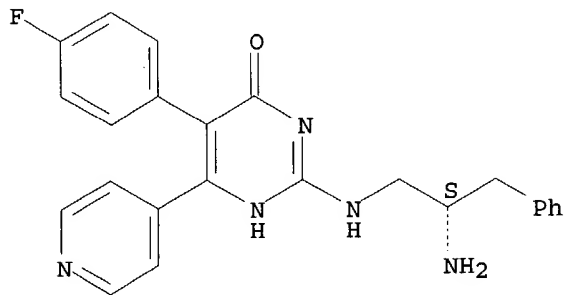
RN 208653-61-6 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[(2S)-2-amino-3-phenylpropyl]amino]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



09/ 787,426

fluorophenyl)-6-(4-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

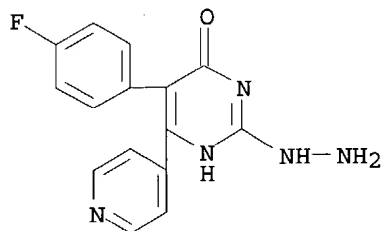
Absolute stereochemistry.



● HCl

RN 208653-62-7 CAPLUS

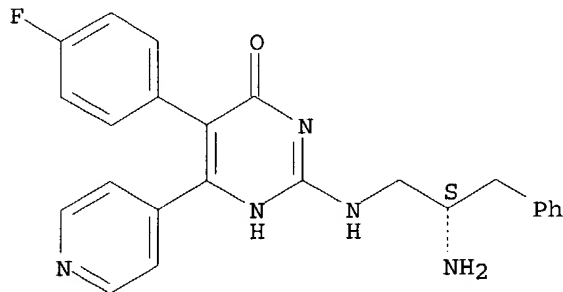
CN 2,4(1H,3H)-Pyrimidinedione, 5-(4-fluorophenyl)-6-(4-pyridinyl)-,  
2-hydrazone (9CI) (CA INDEX NAME)



RN 208654-83-5 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[(2S)-2-amino-3-phenylpropyl]amino]-5-(4-  
fluorophenyl)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:227649 CAPLUS

DOCUMENT NUMBER: 132:265206

TITLE: Preparation of pyrimidones for treating diseases

caused by tau protein kinase 1 hyperactivity such as Alzheimer disease

INVENTOR(S): Watanabe, Kazutoshi; Ando, Ryoichi; Saito, Ken-ichi; Kawamoto, Rie; Shoda, Aya

PATENT ASSIGNEE(S): Mitsubishi Chemical Corporation, Japan

SOURCE: PCT Int. Appl., 106 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

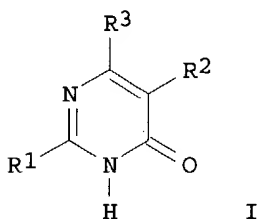
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018758	A1	20000406	WO 1999-JP5224	19990924
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2345065	AA	20000406	CA 1999-2345065	19990924
AU 9957599	A1	20000417	AU 1999-57599	19990924
EP 1115721	A1	20010718	EP 1999-944815	19990924
EP 1115721	B1	20031210		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525366	T2	20020813	JP 2000-572218	19990924
AT 256123	E	20031215	AT 1999-944815	19990924
PRIORITY APPLN. INFO.:				
			JP 1998-271277	A 19980925
			JP 1998-305266	A 19981027
			WO 1999-JP5224	W 19990924

OTHER SOURCE(S): MARPAT 132:265206

GI



AB The title compds. [I; R1 = C1-18 alkyl, C3-18 alkenyl, C3-18 alkenyl, etc.; R2 = H, OH, C1-18 alkyl, etc.; R3 = (un)substituted pyridyl], useful for preventive and/or therapeutic treatment of a disease caused by tau protein kinase 1 hyperactivity such as Alzheimer disease, were prepared and formulated. Thus, reacting Et 3-(4-pyridyl)-3-oxopropionate with 3-amidinopyridine.HCl in the presence of K<sub>2</sub>CO<sub>3</sub> in EtOH afforded I [R1 = 3-pyridyl; R2 = H; R3 = 4-pyridyl] which showed IC<sub>50</sub> of 2.3  $\mu$ M against P-GS1 phosphorylation by bovine cerebral TPK1.

IT 54950-12-8P 54950-14-0P 263244-09-3P  
263244-10-6P 263244-16-2P 263244-25-3P  
263244-26-4P 263244-27-5P 263244-30-0P  
263244-31-1P 263244-32-2P 263244-34-4P  
263244-35-5P 263244-36-6P 263244-37-7P

*Applicant's*

09/ 787,426

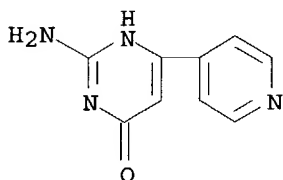
**263244-38-8P 263244-39-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidones for treating diseases caused by tau protein kinase 1 hyperactivity such as Alzheimer disease)

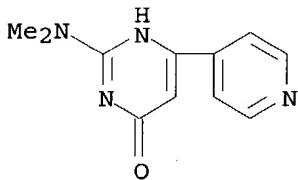
RN 54950-12-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



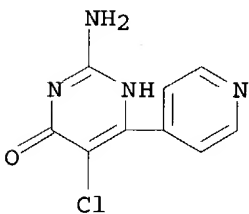
RN 54950-14-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



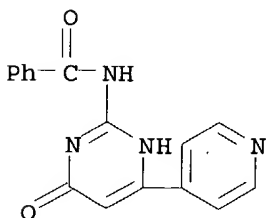
RN 263244-09-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-5-chloro-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 263244-10-6 CAPLUS

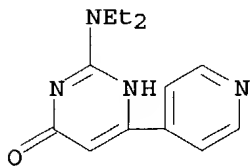
CN Benzamide, N-[1,4-dihydro-4-oxo-6-(4-pyridinyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



09/ 787,426

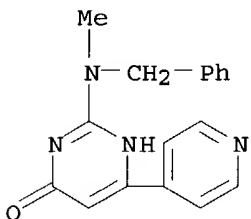
RN 263244-16-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(diethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



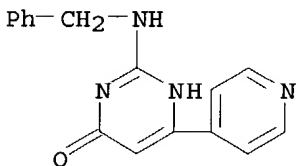
RN 263244-25-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[methyl(phenylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



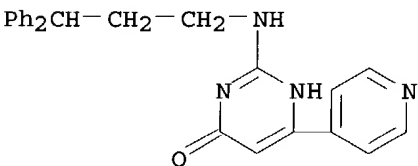
RN 263244-26-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(phenylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 263244-27-5 CAPLUS

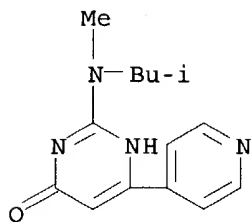
CN 4(1H)-Pyrimidinone, 2-[(3,3-diphenylpropyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 263244-30-0 CAPLUS

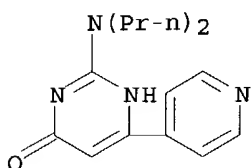
CN 4(1H)-Pyrimidinone, 2-[methyl(2-methylpropyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

09/ 787,426



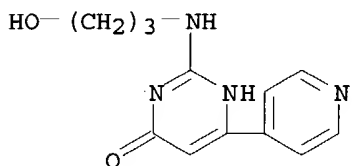
RN 263244-31-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(diisobutylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



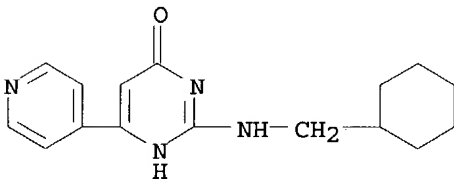
RN 263244-32-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(3-hydroxypropyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 263244-34-4 CAPLUS

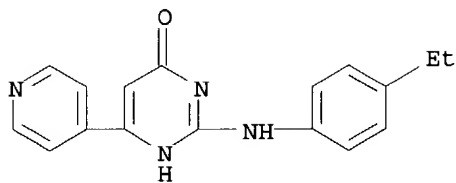
CN 4(1H)-Pyrimidinone, 2-[(cyclohexylmethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 263244-35-5 CAPLUS

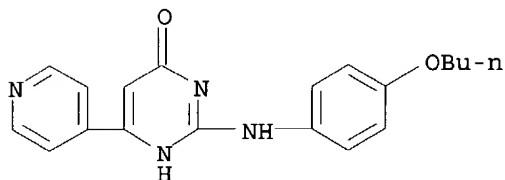
CN 4(1H)-Pyrimidinone, 2-[(4-ethylphenyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

09/ 787,426



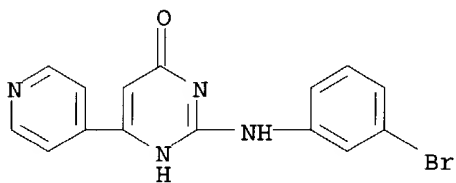
RN 263244-36-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(4-butoxyphenyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



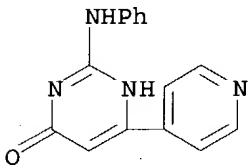
RN 263244-37-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(3-bromophenyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



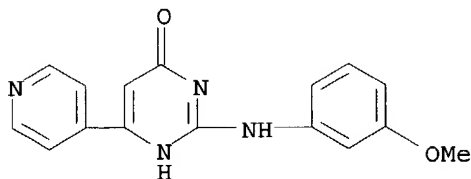
RN 263244-38-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(phenylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 263244-39-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[(3-methoxyphenyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:287423 CAPLUS

DOCUMENT NUMBER: 131:18977

TITLE: Synthesis of pyrimidines and azolopyrimidines as biodynamic agents

AUTHOR(S): Upadhyay, D. N.; Ram, Vishnu J.

CORPORATE SOURCE: Medicinal Chemistry Division, Central Drug Research Institute, Lucknow, 226 001, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1999), 38B(2), 173-177

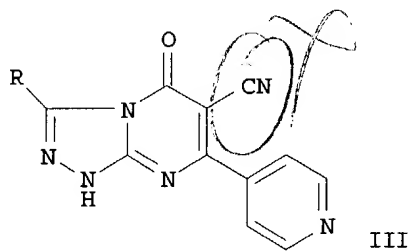
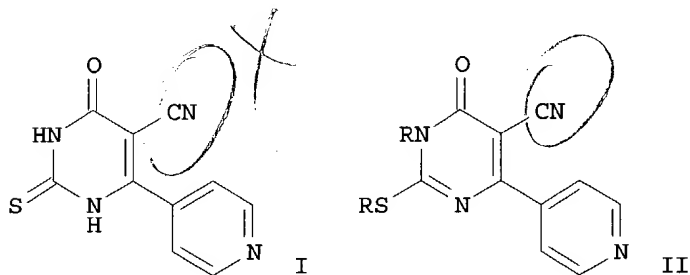
CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: National Institute of Science Communication, CSIR

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



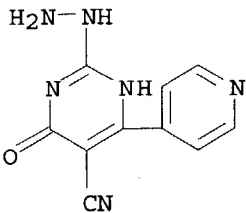
AB 5-Cyano-6-(4-pyridyl)-2-thiouracil (I) has been synthesized and used as a precursor for the synthesis of mono- and bicyclic pyrimidine derivs., e.g., II and III, to evaluate their antifungal and antileishmanial activities.

IT 226092-80-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (pyrimidines and azolopyrimidines as biodynamic agents)

RN 226092-80-4 CAPLUS

CN 5-Pyrimidinecarbonitrile, 2-hydrazino-1,4-dihydro-4-oxo-6-(4-pyridinyl) -  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1998:394334 CAPLUS  
DOCUMENT NUMBER: 129:67791  
TITLE: Preparation of 2-substituted 5-(4-fluorophenyl)-4-(4-pyridyl)pyrimidines and related compounds as drugs  
INVENTOR(S): Spohr, Ulrike D.; Malone, Michael J.; Mantlo, Nathan B.  
PATENT ASSIGNEE(S): Amgen Inc., USA; Spohr, Ulrike D.; Malone, Michael J.; Mantlo, Nathan B.  
SOURCE: PCT Int. Appl., 232 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9824782	A2	19980611	WO 1997-US22390	19971204
WO 9824782	A3	19980827		
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
ZA 9710727	A	19980612	ZA 1997-10727	19971128
AU 9860120	A1	19980629	AU 1998-60120	19971204
AU 733877	B2	20010531		
EP 948497	A2	19991013	EP 1997-954778	19971204
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 9713850	A	20000229	BR 1997-13850	19971204
CN 1246858	A	20000308	CN 1997-181563	19971204
NZ 335997	A	20010831	NZ 1997-335997	19971204
JP 2002514195	T2	20020514	JP 1998-525850	19971204
TW 520362	B	20030211	TW 1997-86118244	19971204
EP 1314731	A2	20030528	EP 2002-27704	19971204
EP 1314731	A3	20040102		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, RO, MK, AL			
EP 1314732	A2	20030528	EP 2002-27705	19971204
EP 1314732	A3	20040102		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			



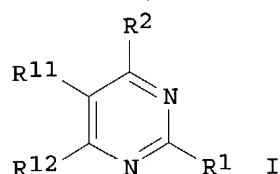
IE, SI, LT, LV, FI, RO, MK, AL			
ZA 9710911	A	19980605	ZA 1997-10911 19971205
MX 9905168	A	20000228	MX 1999-5168 19990603
US 6410729	B1	20020625	US 2000-598740 20000621
US 2003069425	A1	20030410	US 2002-117552 20020403
US 6610698	B2	20030826	

PRIORITY APPLN. INFO.:

US 1996-32128P	P	19961205
US 1997-50950P	P	19970613
US 1997-976054	A	19971121
EP 1997-954778	A3	19971204
US 1997-984774	B1	19971204
WO 1997-US22390	W	19971204
US 2000-598740	A3	20000621

OTHER SOURCE(S): MARPAT 129:67791

GI



AB Novel pyrimidines [I; R<sub>1</sub>, R<sub>2</sub> = ZY, with a proviso; Z = bond, (un)substituted alk(en)yl, alkynyl, (un)substituted heterocyclyl, (un)substituted (hetero)aryl; etc; Y = H, halo, NO<sub>2</sub>, COR<sub>20</sub>, CNR<sub>5</sub>NR<sub>5</sub>R<sub>21</sub>, OR<sub>21</sub>, O<sub>2</sub>CR<sub>21</sub>, etc.; R<sub>5</sub> = H, (un)substituted alk(en)yl, alkynyl, cycloalkyl, (hetero)aryl, etc.; R<sub>20</sub> = (un)substituted alk(en)yl, alkynyl, aralkoxy, aralkylthio, aralkylsulfonyl, etc.; R<sub>21</sub> = H, any of definitions for R<sub>20</sub>] and their pharmaceutically acceptable salts, effective for prophylaxis and treatment of diseases mediated by tumor necrosis factor α (TNF-α), IL-1β, IL-6 and/or IL-8 and other maladies, e.g., pain and diabetes, were prepared, e.g., by enamination of 2-(4-fluorophenyl)-1-(4-pyridinyl)ethanone (II) with (Me<sub>2</sub>N)<sub>2</sub>CHOMe and cyclocondensation of the resulting (dimethylamino)propenone with an amidine, guanidine or urea. I analogs, prodrugs, pharmaceutical compns., methods for prophylaxis and treatment of diseases or conditions involving inflammation, pain, diabetes, etc., and processes for making such compds. and their intermediates are also claimed. For example, heating a mixture of II with (Me<sub>2</sub>N)<sub>2</sub>CHOMe at 110° for 1.5 h under Ar gave 3-(dimethylamino)-2-(4-fluorophenyl)-1-(4-pyridyl)-3-propen-1-one which was cyclocondensed with 4-pyridylamidine (prepared in situ from pyridylamidine-HCl and Na) by refluxing in EtOH to give a title compound I (R<sub>1</sub> = R<sub>12</sub> = 4-pyridinyl, R<sub>2</sub> = H, R<sub>11</sub> = 4-FC<sub>6</sub>H<sub>4</sub>). The latter in mice inhibited lipopolysaccharide-induced TNF-α release with IC<sub>50</sub> ≤20 μM.

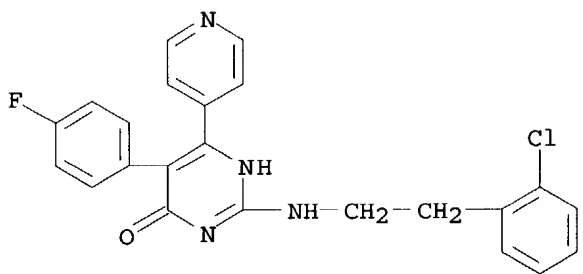
IT 208653-57-0P 208653-58-1P 208653-59-2P  
 208653-60-5P 208653-61-6P 208653-62-7P  
 208654-83-5P 208936-36-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 2-substituted (fluorophenyl)(pyridyl)pyrimidines and related compds. as drugs)

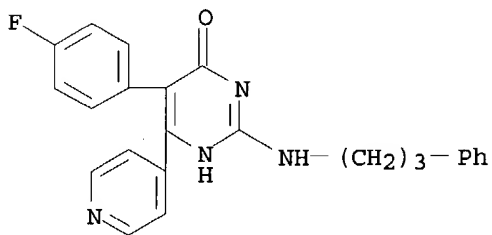
RN 208653-57-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[2-(2-chlorophenyl)ethyl]amino]-5-(4-fluorophenyl)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

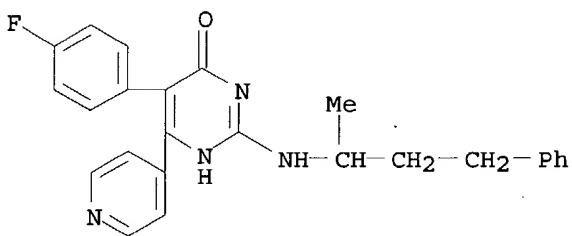
09/ 787,426



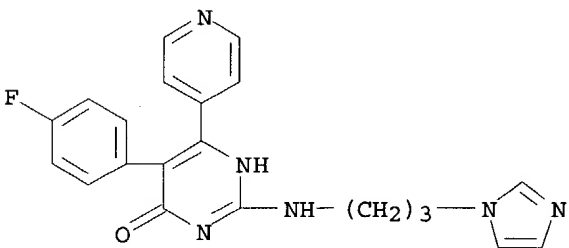
RN 208653-58-1 CAPLUS  
CN 4(1H)-Pyrimidinone, 5-(4-fluorophenyl)-2-[(3-phenylpropyl)amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



RN 208653-59-2 CAPLUS  
CN 4(1H)-Pyrimidinone, 5-(4-fluorophenyl)-2-[(1-methyl-3-phenylpropyl)amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



RN 208653-60-5 CAPLUS  
CN 4(1H)-Pyrimidinone, 5-(4-fluorophenyl)-2-[[3-(1H-imidazol-1-yl)propyl]amino]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

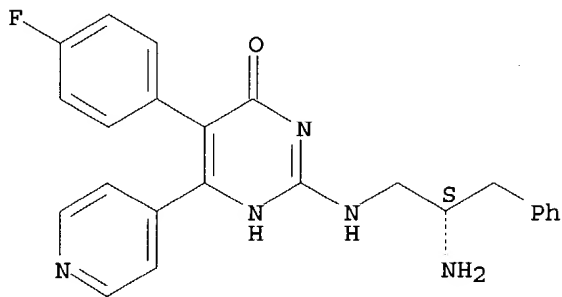


RN 208653-61-6 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[(2S)-2-amino-3-phenylpropyl]amino]-5-(4-pyridinyl)-(9CI) (CA INDEX NAME)

09/ 787,426

fluorophenyl)-6-(4-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

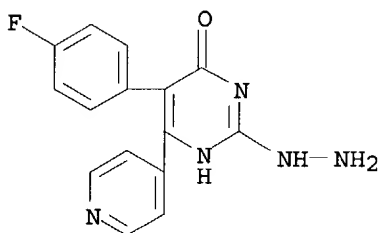
Absolute stereochemistry.



● HCl

RN 208653-62-7 CAPLUS

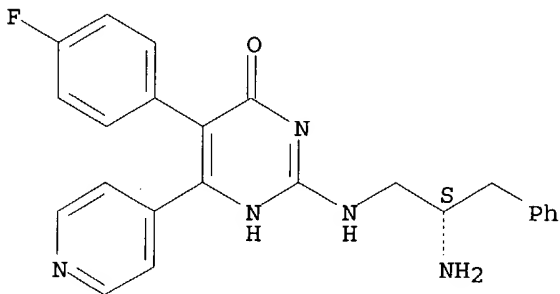
CN 2,4(1H,3H)-Pyrimidinedione, 5-(4-fluorophenyl)-6-(4-pyridinyl)-, 2-hydrazone (9CI) (CA INDEX NAME)



RN 208654-83-5 CAPLUS

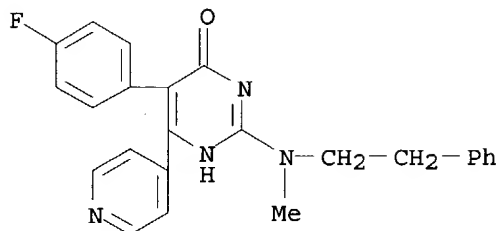
CN 4(1H)-Pyrimidinone, 2-[[[(2S)-2-amino-3-phenylpropyl]amino]-5-(4-fluorophenyl)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 208936-36-1 CAPLUS

CN 4(1H)-Pyrimidinone, 5-(4-fluorophenyl)-2-[methyl(2-phenylethyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:394333 CAPLUS

DOCUMENT NUMBER: 129:54384

TITLE: Preparation of arylpyrimidinones and analogs as drugs

INVENTOR(S): Spohr, Ulrike D.; Malone, Michael J.; Mantlo, Nathan B.; Zablocki, Jeff A.

PATENT ASSIGNEE(S): Amgen Inc., USA; Spohr, Ulrike D.; Malone, Michael J.; Mantlo, Nathan B.; Zablocki, Jeff A.

SOURCE: PCT Int. Appl., 298 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9824780	A2	19980611	WO 1997-US22949	19971204
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9710727	A	19980612	ZA 1997-10727	19971128
AU 9855254	A1	19980629	AU 1998-55254	19971204
AU 735901	B2	20010719		
EP 948496	A2	19991013	EP 1997-951678	19971204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1246857	A	20000308	CN 1997-181558	19971204
BR 9713863	A	20000314	BR 1997-13863	19971204
NZ 335992	A	20010928	NZ 1997-335992	19971204
JP 2002514196	T2	20020514	JP 1998-525902	19971204
TW 520362	B	20030211	TW 1997-86118244	19971204
EP 1314731	A2	20030528	EP 2002-27704	19971204
EP 1314731	A3	20040102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, RO, MK, AL				
EP 1314732	A2	20030528	EP 2002-27705	19971204
EP 1314732	A3	20040102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, AL				
ZA 9710911	A	19980605	ZA 1997-10911	19971205
MX 9905158	A	20000331	MX 1999-5158	19990603
US 6410729	B1	20020625	US 2000-598740	20000621
US 2003069425	A1	20030410	US 2002-117552	20020403
US 6610698	B2	20030826		

09/ 787,426

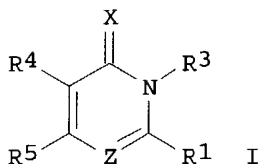
PRIORITY APPLN. INFO.:

US 1996-32128P	P 19961205
US 1997-50950P	P 19970613
US 1997-976053	A 19971121
US 1997-976054	A 19971121
EP 1997-954778	A3 19971204
US 1997-984774	B1 19971204
WO 1997-US22949	W 19971204
US 2000-598740	A3 20000621

OTHER SOURCE(S):

MARPAT 129:54384

GI



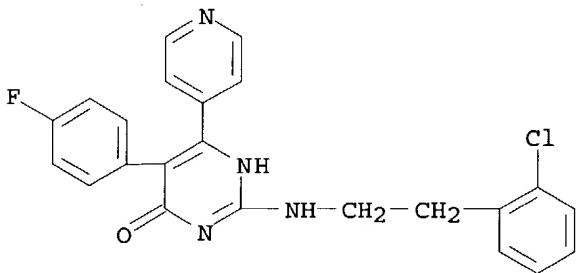
AB Title compds. [e.g., I; Z = N or CR<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = R or Z<sub>1</sub>R; R = H, halo, alkoxy(carbonyl), amino(carbonyl or sulfonyl), etc.; R<sub>3</sub> = Z<sub>1</sub>R; R<sub>4</sub>, R<sub>5</sub> = (un)substituted (hetero)aryl; X = O, S, (un)substituted imino; Z<sub>1</sub> = alkylene, heterocyclylene, (hetero)arylene, etc.] were prepared as agents for reduction of, e.g., TNF- $\alpha$  levels. Thus, 4-FC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CO<sub>2</sub>Et was acylated by Et isonicotinate and the product cyclocondensed with (H<sub>2</sub>N)<sub>2</sub>CS to give, after N-methylation, I (R<sub>3</sub> = Me, R<sub>4</sub> = C<sub>6</sub>H<sub>4</sub>F-4, R<sub>5</sub> = 4-pyridyl, X = O) (II; R<sub>1</sub> = SH) which was aminated by 2-FC<sub>6</sub>H<sub>4</sub>CH(NH<sub>2</sub>)CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> to give II [R<sub>1</sub> = NHCH<sub>2</sub>CH<sub>2</sub>CH(NH<sub>2</sub>)C<sub>6</sub>H<sub>4</sub>F-2]. Data for biol. activity of I were given.

IT 208653-57-0P 208653-58-1P 208653-59-2P  
208653-60-5P 208653-61-6P 208653-62-7P  
208654-83-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of arylpyrimidinones and analogs as drugs)

RN 208653-57-0 CAPLUS

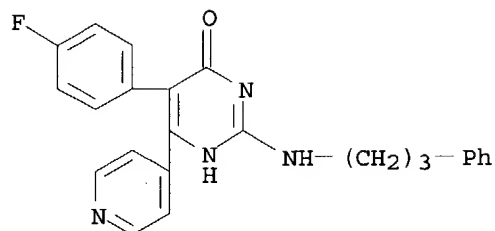
CN 4(1H)-Pyrimidinone, 2-[[2-(2-chlorophenyl)ethyl]amino]-5-(4-fluorophenyl)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 208653-58-1 CAPLUS

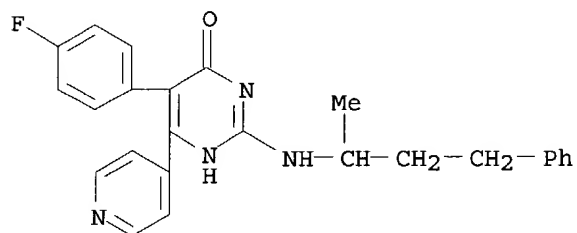
CN 4(1H)-Pyrimidinone, 5-(4-fluorophenyl)-2-[(3-phenylpropyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

09/ 787,426



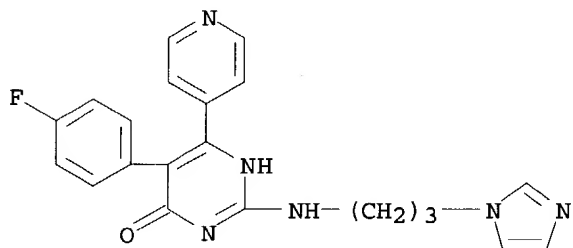
RN 208653-59-2 CAPLUS

CN 4(1H)-Pyrimidinone, 5-(4-fluorophenyl)-2-[(1-methyl-3-phenylpropyl)amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 208653-60-5 CAPLUS

CN 4(1H)-Pyrimidinone, 5-(4-fluorophenyl)-2-[[3-(1H-imidazol-1-yl)propyl]amino]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

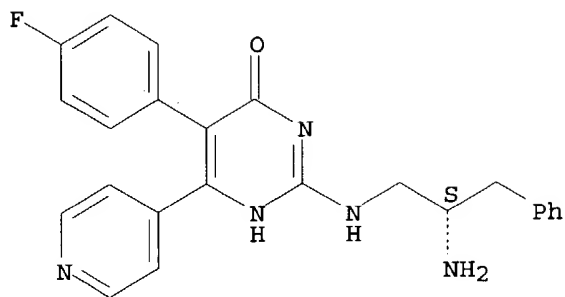


RN 208653-61-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[[(2S)-2-amino-3-phenylpropyl]amino]-5-(4-fluorophenyl)-6-(4-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

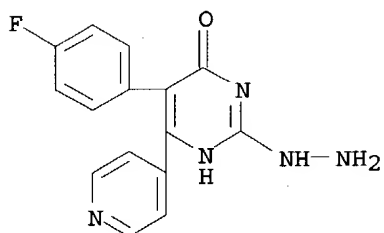
Absolute stereochemistry.

09/ 787,426



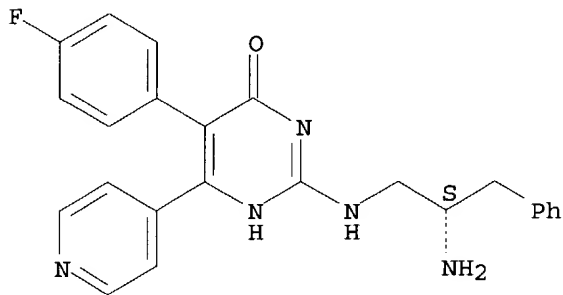
● HCl

RN 208653-62-7 CAPLUS  
CN 2,4(1H,3H)-Pyrimidinedione, 5-(4-fluorophenyl)-6-(4-pyridinyl)-,  
2-hydrazone (9CI) (CA INDEX NAME)



RN 208654-83-5 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-[[[(2S)-2-amino-3-phenylpropyl]amino]-5-(4-fluorophenyl)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

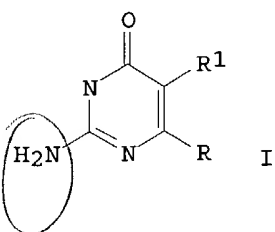
Absolute stereochemistry.



L3 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1985:596051 CAPLUS  
DOCUMENT NUMBER: 103:196051  
TITLE: Pyrimidinones. 1. 2-Amino-5-halo-6-aryl-4(3H)-  
pyrimidinones. Interferon-inducing antiviral agents  
AUTHOR(S): Skulnick, Harvey I.; Weed, Sheldon D.; Eidson, Emerson  
E.; Renis, Harold E.; Stringfellow, Dale A.; Wierenga,  
Wendell  
CORPORATE SOURCE: Cancer Virus Res., Upjohn Co., Kalamazoo, MI, 49001,

09/ 787,426

SOURCE: USA  
Journal of Medicinal Chemistry (1985), 28(12), 1864-9  
CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 103:196051  
GI

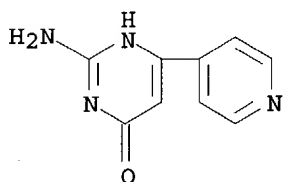


*excluded*

AB Title compds. I [R = Ph, halo-, alkoxy-, hydroxy-, nitro-, (trifluoromethyl)-, alkyl-, amino-, cyano-, carboxy-, or benzyloxyphenyl, naphthyl, furyl, pyridyl, pyrazinyl, quinolyl; R<sub>1</sub> = Cl, Br, iodo] (about 110 compds.), which were prepared, exhibited virucidal activity. I (R = Ph, R<sub>1</sub> = H) was halogenated by N-chlorosuccinimide in HOAc to give I (R = Ph, R<sub>1</sub> = Cl).

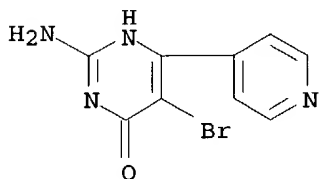
IT 54950-12-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(halogenation of)

RN 54950-12-8 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-amino-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



IT 98305-54-5P 98305-55-6P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and virucidal activity of)

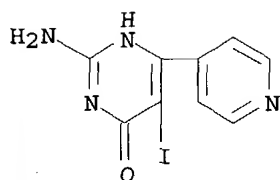
RN 98305-54-5 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-amino-5-bromo-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 98305-55-6 CAPLUS  
CN 4(1H)-Pyrimidinone, 2-amino-5-iodo-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



09/ 787,426



L3 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1985:471335 CAPLUS

DOCUMENT NUMBER: 103:71335

TITLE: Triazolopyrimidine derivatives and their use as cardiac stimulants

INVENTOR(S): Barthelemy, Gerard; Hallot, Andre; Vallat, Jean Noel

PATENT ASSIGNEE(S): SANOFI, Fr.

SOURCE: Fr. Demande, 13 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

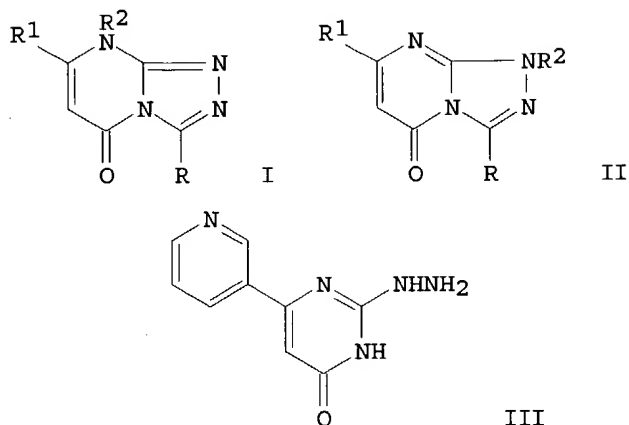
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2549834	A1	19850201	FR 1983-12443	19830725
FR 2549834	B1	19851018		
IL 72330	A1	19870227	IL 1984-72330	19840706
→ US 4581358	A	19860408	US 1984-628916	19840709
ZA 8405301	A	19850227	ZA 1984-5301	19840710
AU 8430791	A1	19850131	AU 1984-30791	19840718
AU 562596	B2	19870611		
DK 8403605	A	19850126	DK 1984-3605	19840723
ES 534550	A1	19850501	ES 1984-534550	19840723
CS 248718	B2	19870212	CS 1984-5626	19840723
NO 8403003	A	19850128	NO 1984-3003	19840724
EP 136198	A1	19850403	EP 1984-401551	19840724
EP 136198	B1	19880210		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
CA 1226284	A1	19870901	CA 1984-459573	19840724
AT 32462	E	19880215	AT 1984-401551	19840724
FI 8402966	A	19850126	FI 1984-2966	19840725
JP 60051190	A2	19850322	JP 1984-155127	19840725
HU 34753	O	19850429	HU 1984-2861	19840725
HU 190653	B	19861028		
DD 222593	A5	19850522	DD 1984-265646	19840725
SU 1347865	A3	19871023	SU 1984-3767330	19840725
PRIORITY APPLN. INFO.:			FR 1983-12443	19830725
			EP 1984-401551	19840724

OTHER SOURCE(S): CASREACT 103:71335

GI



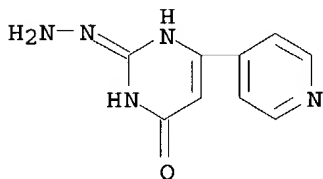
AB Triazolopyrimidinones I and II (R = alkyl; R1 = pyridyl, alkyl-, alkoxy-, hydroxy-, or cyanopyridyl; R2 = H, alkyl, unsatd. aliphatic group), which were prepared, showed cardiovascular activity. Hydrazinopyrimidinone III was heated with MeC(OEt)<sub>3</sub> in BuOH to give I (R = Me, R1 = 3-pyridyl, R2 = H).

IT 97545-28-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclocondensation of, with ortho esters)

RN 97545-28-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 6-(4-pyridinyl)-, 2-hydrazone (9CI) (CA INDEX NAME)



L3 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1976:44112 CAPLUS

DOCUMENT NUMBER: 84:44112

TITLE: 4-Hydroxy-pyridylpyrimidine derivatives

INVENTOR(S): Tani, Hidero; Nakamura, Koji; Mori, Yasuhiro; Yokoo, Nobuo; Kyotani, Yoshinori; Wada, Yasushi

PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan

SOURCE: Jpn. Tokkyo Koho, 3 pp.

CODEN: JAXXAD

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49035631	B4	19740925	JP 1970-127611	19701228
PRIORITY APPLN. INFO.:			JP 1970-127611	19701228

GI For diagram(s), see printed CA Issue.

AB Seven pyrimidinols (I, R = 2-, 3-, 4-pyridyl, R1 = H, Me, or R12N = morpholino), useful as antiinflammatory agents (no data), were prepared from

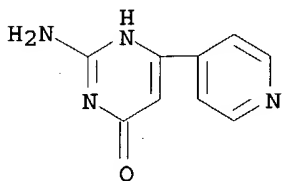
the corresponding pyridylcarbonylacetic acid ester and guanidine derivs. [R12NC(:NH)NH2]. E.g., 54.9 g nicotinoylacetic acid Me ester in 53 g EtOAc was refluxed with EtO Na (obtained from 11.5 g Na and 200 ml EtOH) for 10 hr and the reaction mixture was adjusted with H2SO4 to pH 7 to give 24.95 g nicotinoylacetic acid Et ester, which (18.1 g) was refluxed 5 hr with 12.6 g H2NC(:NH)NH2 carbonate in 60 ml EtOH to give I (R = 3-pyridyl, R1 = H).

IT 54950-12-8P 54950-14-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

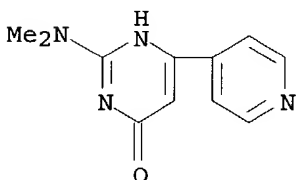
RN 54950-12-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 54950-14-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1975:410129 CAPLUS

DOCUMENT NUMBER: 83:10129

TITLE: 2-(Substituted)-4-hydroxy-6-pyridylpyrimidine derivatives

INVENTOR(S): Tani, Hidero; Nakamura, Koji; Mori, Yasuhiro; Yokoo, Nobuo; Kyotani, Yoshinori; Wada, Yasushi

PATENT ASSIGNEE(S): Mori, Hiroshi

SOURCE: Jpn. Tokkyo Koho, 3 pp.

CODEN: JAXXAD

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49035634	B4	19740925	JP 1970-128203	19701230
PRIORITY APPLN. INFO.:			JP 1970-128203	19701230

GI For diagram(s), see printed CA Issue.

AB Seven 2-amino-6-pyridyl-4-pyrimidinols (I, R = H2, Me, or R2N = morpholino; R1 = 2-, 3-, or 4-pyridyl), useful as antiinflammatory agents, were prepared from the 2-(methylthio) derivs. and the appropriate amines. E.g., 3.0 g 2-(methylthio)-6-(4-pyridyl)-4-pyrimidinol, obtained from reaction of H2NC(:S)NH2 with Et isonicotinoylacetic acid and subsequent

09/ 787,426

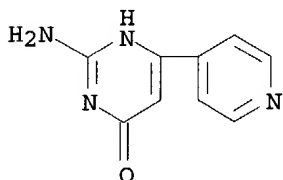
methylation, was treated with 260 mg Me<sub>2</sub>NH in BuOH at 150° for 2 hr to give 76.5% I (R = Me, R<sub>1</sub> = 4-pyridyl).

IT 54950-12-8P 54950-14-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

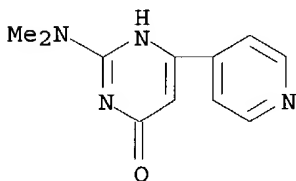
RN 54950-12-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 54950-14-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1975:410127 CAPLUS

DOCUMENT NUMBER: 83:10127

TITLE: 5-Nitro-6-pyridylprimidine derivatives

INVENTOR(S): Tani, Hidero; Nakamura, Koji; Yokoo, Nobuo; Kyotani, Yoshinori; Akaishi, Keisuke

PATENT ASSIGNEE(S): Mori, Hiroshi

SOURCE: Jpn. Tokkyo Koho, 3 pp.

CODEN: JAXXAD

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49035633	B4	19740925	JP 1970-128199	19701230

PRIORITY APPLN. INFO.: JP 1970-128199 19701230

GI For diagram(s), see printed CA Issue.

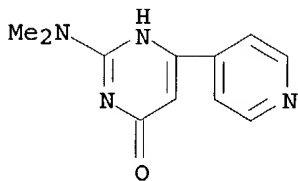
AB Three 5-nitro-2-amino-4-(4-pyridyl)pyrimidines (R = H, Me; R<sub>1</sub> = OH, NH<sub>2</sub>), useful as antiinflammatory agents, were prepared by nitration of the corresponding II. Thus, 15 g II (R = Me, R<sub>1</sub> = NH<sub>2</sub>) was treated with a mixture of 10 ml fuming HNO<sub>3</sub> and 50 ml H<sub>2</sub>SO<sub>4</sub> for 1 hr and the mixture was treated with 28% NH<sub>3</sub>-H<sub>2</sub>O to give 8.08 g I (R = Me, R<sub>1</sub> = NH<sub>2</sub>).

IT 54950-14-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(nitration of)

RN 54950-14-0 CAPLUS

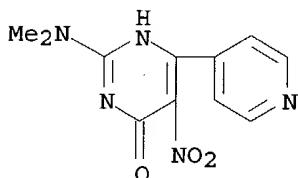
CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



IT 55361-89-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 55361-89-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-5-nitro-6-(4-pyridinyl)- (9CI) (CA  
INDEX NAME)

L3 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1975:171028 CAPLUS

DOCUMENT NUMBER: 82:171028

TITLE: 2,4,5-Trisubstituted-6-pyridylpyrimidine derivatives

INVENTOR(S): Tani, Hideo; Nakamura, Koji; Yokoo, Nobuo; Kyoya,  
Yoshinori; Akashi, Keisuke

PATENT ASSIGNEE(S): Mori, Hiroshi

SOURCE: Jpn. Tokkyo Koho, 3 pp.

CODEN: JAXXAD

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49036719	B4	19741002	JP 1970-128201	19701230
PRIORITY APPLN. INFO.:			JP 1970-128201	19701230

GI For diagram(s), see printed CA Issue.

AB Pyridylpyrimidinols [I, R = 1-piperidinylmethyl (II), morpholinomethyl], useful as antiinflammatory agents (no data), were prepared by reacting I (R = H) with RH and formalin. E.g., 650 mg I (R = H) was refluxed with 0.036 ml HOAc, 306 mg piperidine, 0.375 ml formalin and 6 ml EtOH for 45 min, the mixture allowed to stand for 2.5 hr, 0.1 ml formalin added, and the mixture again refluxed for 1.5 hr to give 44 mg II. II·HCl was also prepared

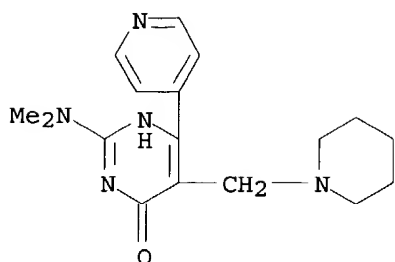
IT 55362-49-7P 55362-50-0P 55362-51-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 55362-49-7 CAPLUS

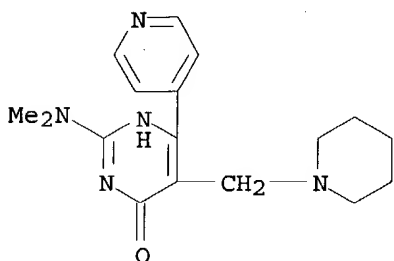
CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-5-(1-piperidinylmethyl)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

09/ 787,426



RN 55362-50-0 CAPLUS

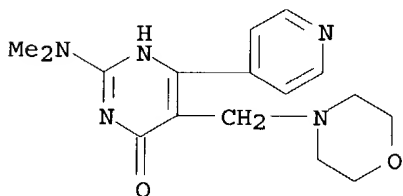
CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-5-(1-piperidinylmethyl)-6-(4-pyridinyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 55362-51-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-5-(4-morpholinylmethyl)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

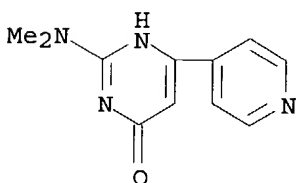


IT 54950-14-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction with amines and formaldehyde)

RN 54950-14-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



09/ 787,426

L3 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1975:140173 CAPLUS

DOCUMENT NUMBER: 82:140173

TITLE: 2,4,6-Trisubstituted pyrimidines

INVENTOR(S): Tani, Hideo; Nakamura, Koji; Mori, Shizuhiro; Yokoo, Nobuo; Kyotani, Yoshitoku; Wada, Yasushi

PATENT ASSIGNEE(S): Kowa Co., Ltd.

SOURCE: Jpn. Tokkyo Koho, 12 pp.

CODEN: JAXXAD

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49021148	B4	19740530	JP 1970-127609	19701228
PRIORITY APPLN. INFO.:			JP 1970-127609	19701228

GI For diagram(s), see printed CA Issue.

AB Sixty-three antiinflammatory (no data) pyrimidines (R = 4-pyridyl, Ph, etc., R1 = NH2, NMe2, NEt2, morpholino, NHPr, piperidino, OMe, etc., R2 = NMe2, OCH2CH2NMe2, NEt2, morpholino, NHCH2CH:CH2, NHCH2CH2OH, etc.) were prepared by reacting I (R1 = SO2Me or Cl) with the appropriate amine or alc. E.g., I (R = NH2, R1 = SO2Me, R2 = 4-pyridyl) (0.016 mole) was refluxed 1 hr with 30 ml MeOH containing 0.03 mole Na to give 80% I (R = NH2, R1 = OMe, R2 = 4-pyridyl).

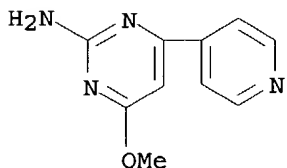
IT 54993-99-6P 54994-00-2P 54994-01-3P

54994-02-4P 54994-03-5P 54994-04-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

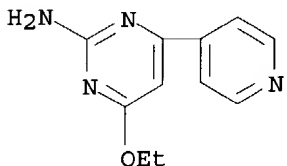
RN 54993-99-6 CAPLUS

CN 2-Pyrimidinamine, 4-methoxy-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 54994-00-2 CAPLUS

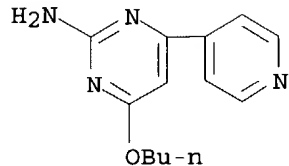
CN 2-Pyrimidinamine, 4-ethoxy-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



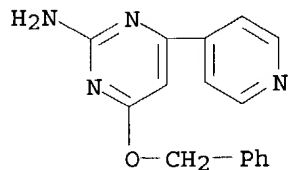
RN 54994-01-3 CAPLUS

CN 2-Pyrimidinamine, 4-butoxy-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

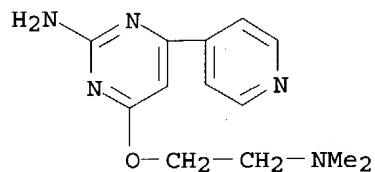
09/ 787,426



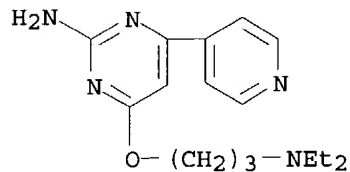
RN 54994-02-4 CAPLUS  
CN 2-Pyrimidinamine, 4-(phenylmethoxy)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 54994-03-5 CAPLUS  
CN 2-Pyrimidinamine, 4-[2-(dimethylamino)ethoxy]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 54994-04-6 CAPLUS  
CN 2-Pyrimidinamine, 4-[3-(diethylamino)propoxy]-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 14:14:26 ON 27 MAY 2004)

FILE 'REGISTRY' ENTERED AT 14:14:35 ON 27 MAY 2004

L1 STRUCTURE UPLOADED

L2 161 S L1 FUL

FILE 'CAPLUS' ENTERED AT 14:15:03 ON 27 MAY 2004

L3 20 S L2

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL



09/ 787,426

	ENTRY	SESSION
FULL ESTIMATED COST	96.01	251.64
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-13.86	-13.86

STN INTERNATIONAL LOGOFF AT 14:16:26 ON 27 MAY 2004